

Andrew Freistein 10/804, 505

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IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
INPADOC
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30 Saved answer limit increased
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency
added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
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V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

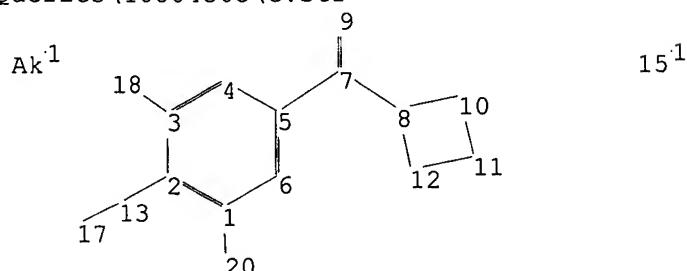
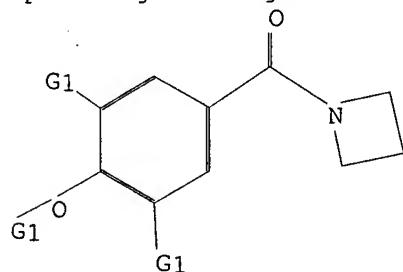
Structure search iteration limits have been increased. See HELP SLIMITS for details.

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=>

Uploading C:\Program Files\Stnexp\Queries\10804505\e.str



chain nodes :

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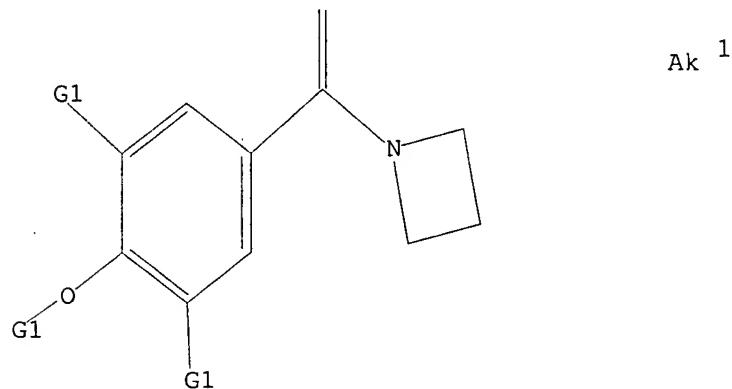
7 9 13 15 17 18 20
ring nodes :
1 2 3 4 5 6 8 10 11 12
chain bonds :
1-20 2-13 3-18 5-7 7-8 7-9 13-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-10 8-12 10-11 11-12
exact/norm bonds :
1-20 2-13 3-18 7-8 7-9 8-10 8-12 10-11 11-12 13-17
exact bonds :
5-7
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:H, [*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS
Generic attributes :
15:
Number of Carbon Atoms : less than 7

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H, [@1]

Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 14:18:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 19769 TO ITERATE
10.1% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

0 ANSWERS

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 386964 TO 403796
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:19:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 393651 TO ITERATE

100.0% PROCESSED 393651 ITERATIONS 37 ANSWERS
SEARCH TIME: 00.00.08

L3 37 SEA SSS FUL L1

=> file hcplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15

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FILE COVERS 1907 - 3 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 2 Feb 2006 (20060202/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 20 L3

=> d ibib abs hitstr 1-20

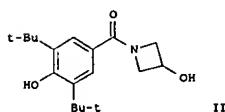
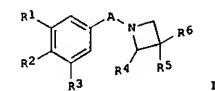
L4 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:1232819 HCAPLUS
 DOCUMENT NUMBER: 144:102801
 TITLE: Different Transition-State Structures for the Reactions of β -Lactams and Analogous β -Sultams with Serine β -Lactamases
 AUTHOR(S): Tsang, Wing Y.; Ahmed, Naveed; Hinchliffe, Paul S.; Wood, J. Matthew; Harding, Lindsay P.; Laws, Andrew P.; Page, Michael I.
 CORPORATE SOURCE: Department of Chemical and Biological Sciences, University of Huddersfield, Queensgate /Huddersfield, HD1 3DH, USA
 SOURCE: Journal of the American Chemical Society (2005), 127(49), 17556-17564
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB β -Sultams are the sulfonyl analogs of β -lactams, and N-acyl β -sultams are novel inactivators of the class C β -lactamase of Enterobacter cloacae P99. They sulfonate the active site serine residue to form a sulfonate ester which subsequently undergoes C-O bond fission and formation of a dehydroalanine residue by elimination of the sulfonate anion as shown by electrospray ionization mass spectroscopy. The analogous N-acyl β -lactams are substrates for β -lactamase and undergo enzyme-catalyzed hydrolysis presumably by the normal acylation-deacylation process. The rates of acylation of the enzyme by the β -lactams, measured by the second-order rate constant for hydrolysis, k_{cat}/K_m , and those of sulfonation by the β -sultams, measured by the second-order rate constant for inactivation, k_i , both show a similar pH dependence to that exhibited by the β -lactamase-catalyzed hydrolysis of β -lactam antibiotics. Electron-withdrawing groups in the aryl residue of the leaving group of N-acyl β -lactams increase the rate of alkaline hydrolysis and give a Bronsted β g of -0.55, indicative of a late transition state for rate-limiting formation of the tetrahedral intermediate. Interestingly, the corresponding Bronsted β g for the β -lactamase-catalyzed hydrolysis of the same substrates is -0.06, indicative of an earlier transition state for the enzyme-catalyzed reaction. By contrast, although the Bronsted β g for the alkaline hydrolysis of N-acyl β -sultams is -0.73, similar to that for the β -lactams, that for the sulfonation of β -lactamase by these compds. is -1.46, compatible with significant amide anion expulsion/S-N fission in the transition state. In this case, the enzyme reaction displays a later transition state compared with hydroxide-ion-catalyzed hydrolysis of the β -sultam.

IT 073073-29-1P
 RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (different transition-state structures for reactions of β -lactams and analogous β -sultams with serine β -lactamases)
 RN 073073-29-1 HCAPLUS
 CN INDEX NAME NOT YET ASSIGNED

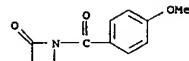
L4 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:823313 HCAPLUS
 DOCUMENT NUMBER: 143:229708
 TITLE: A preparation of azetidine derivatives, useful as COX-1/COX-2 inhibitors
 INVENTOR(S): Altsisen, Rosa Cuberes; Constanza, Jordi Frigola; Alvarez, Matheu Ines
 PATENT ASSIGNEE(S): Spain
 SOURCE: U.S. Pat. Appl. Publ., 21 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------------|-----------------|----------|
| US 2005182041 | A1 | 20050818 | US 2004-804505 | 20040319 |
| ES 224313 | A1 | 20051201 | ES 2004-363 | 20040216 |
| WO 2005077896 | A1 | 20050825 | WO 2005-EPI657 | 20050216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, C2, DE, DK, EZ, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | ES 2004-363 | A 20040216 | |
| | | US 2004-804505 | A 20040319 | |

OTHER SOURCE(S): MARPAT 143:229708
 GI



L4 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



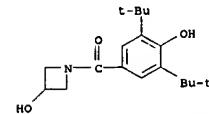
REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

FORMAT

L4 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 AB The invention relates to a preparation of azetidine derivs. of formula I [wherein: A is C(O), CH₂, or CH₂C(O) bonded to azetidine via carbonyl carbon atom R1 and R3 are independently H or aliphatic group; R2 is H, OH, or alkoxy; R4 is H, aryl, or aliphatic group; R5 and R6 are independently selected from H, halogen, OH, aliphatic group, or NH₂, etc.], useful as COX-1/COX-2 inhibitors. For instance, azetidine derivative II (rats, analgesics test; ED₅₀ = 0.4 mg/kg, test for activity against edema; ED₅₀ = 3 mg/kg, antiarthritic activity; ED₅₀ = 0.5 mg/kg) was prepared via condensation of 3,5-di-tert-butyl-4-hydroxybenzoyl chloride by azetidin-3-ol hydrochloride with a yield of 30%.

IT 062780-46-9P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)

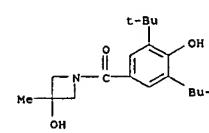
RN 062780-46-9 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]- (9CI) (CA INDEX NAME)



IT 062780-47-0P 062780-52-7P 062780-55-0P
 062780-56-1P 062780-57-2P 062780-58-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)

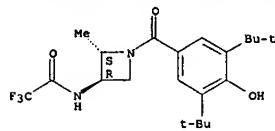
RN 062780-47-0 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-methyl- (9CI) (CA INDEX NAME)



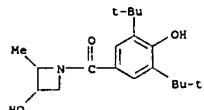
RN 062780-52-7 HCAPLUS
 CN Acetamide, N-(2S,3R)-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl-3-azetidinyl-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

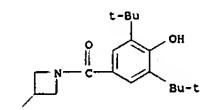
L4 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



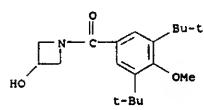
RN 862780-55-0 HCAPLUS
CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 862780-56-1 HCAPLUS
CN Azetidine, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-bromo- (9CI) (CA INDEX NAME)

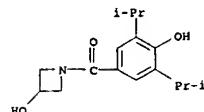


RN 862780-57-2 HCAPLUS
CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]- (9CI) (CA INDEX NAME)

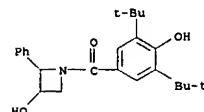


RN 862780-58-3 HCAPLUS
CN 3-Azetidinol, 1-[4-hydroxy-3,5-bis(1-methylethyl)benzoyl]- (9CI) (CA INDEX NAME)

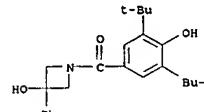
L4 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 862780-58-3 HCAPLUS
CN 3-Azetidinol, 1-[4-hydroxy-3,5-bis(1-methylethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 862780-60-7 HCAPLUS
CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 862780-61-8 HCAPLUS
CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004-928619 HCAPLUS
DOCUMENT NUMBER: 142:56031
TITLE: Cyclopropyl building blocks for organic synthesis, 102. A convenient new synthesis of 3-substituted β -lactams formally derived from 1-(aminomethyl)cyclopropanecarboxylic acids

AUTHOR(S): Zanobini, Alessandra; Gensini, Martina; Magull, Joerg;

CORPORATE SOURCE: Alberto; de Meijere, Armin
Institut fuer Organische und Biomolekulare Chemie,
Georg-August-Universitaet, Goettingen, 37077, Germany

SOURCE: European Journal of Organic Chemistry (2004), (20), 4158-4166
CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

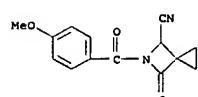
OTHER SOURCE(S): CASREACT 142:56031

AB 1,3-Dipolar cycloaddn. of N-benzyl-C-(methoxycarbonyl)-nitronate, N-benzyl-C-phenylnitronite, N-benzyl-C-cyanonitrone, N-(p-methoxybenzyl)-C-cyanonitrone, N-phenyl- and N-(2-pyridyl)-C-methylnitrones to bicyclic propylenide gave the corresponding cycloadducts. Treatment of these bisaspirocopropanated isoxazolidines with trifluoroacetic acid in acetonitrile furnished the corresponding 3-spirocyclopropanated β -lactams. The structures of one cycloadduct and a β -lactam were proven by X-ray crystal structure analyses. Thus, this new method furnishes compds. with a 5-azaspiro[2.3]hexan-4-one skeleton in 68-94% overall yield in two simple steps. β -Lactams were converted into their N-acyl derivs. Heating of the β -lactams with tert-Bu glycinate or tert-Bu (S)-phenylalaninate in DMF led to ring-opening of the β -lactam moiety to give β -dipeptides or amide. Some β -Lactams turned out not to be transformable into such peptide products.

IT 80870-86-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of cyclopropyl building blocks for the synthesis of 3-substituted β -lactams via 1,3-dipolar cycloaddn. and ring opening reactions)

RN 80870-86-7 HCAPLUS
CN 5-Azaspiro[2.3]hexane-4-carbonitrile, 5-(4-methoxybenzoyl)-6-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004-310829 HCAPLUS
DOCUMENT NUMBER: 140:303552

TITLE: Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteinases and TNF- α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 2004072802 | A1 | 20040415 | US 2002-267207 | 20021009 |
| PRIORITY APPLN. INFO.: | | | US 2002-267207 | 20021009 |

OTHER SOURCE(S): MARPAT 140:303552

AB Novel β -amino acid derivs. CR3R4aCR2R4NR1CO-X-Z-Ua-Ya-Za [A = CO₂H, SH, CH₂SH, S(O)Ra:NH (Ra = H, alkyl), R(O)(OH)2, etc.; X, Ya is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted carbocycle or S-14 membered heterocycle; Ua is absent or O, NR1 (Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form

a ring), CO₂, O₂C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NR1, S(O)p or CO; Za = H, substituted C3-13 carbocycle or 5-14 membered heterocycle; Ra1 is H, alkyl, Ph, benzyl; R2 is O (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q, alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.).

R4, Ra2 = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R2 and R4 may form rings (with provisos) or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloproteinase and TNF- α inhibitors. Thus, N-hydroxy-1-[4-(2-methyl-4-quinoliny1)methoxyphenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me

4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and

3-azetidinecarboxylic acid. Me ester.

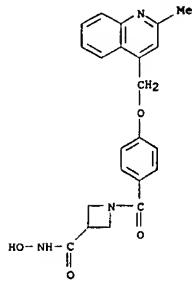
IT 362697-32-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β -amino acid derivs. as inhibitors of matrix metalloproteinases and TNF- α)

RN 362697-32-3 HCAPLUS
CN 3-Azetidinecarboxamide, N-hydroxy-1-[4-(2-methyl-4-

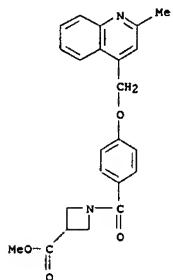
quinoliny1)methoxyphenyl]benzoyl- (9CI) (CA INDEX NAME)



IT 362703-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β -amino acid derivs. as inhibitors of matrix metalloproteinases and TNF- α)

RN 362703-18-2 HCAPLUS

CN 3-Azetidinecarboxylic acid,
1-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]-
, methyl ester (9CI) (CA INDEX NAME)



TITLE: Preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxymamide derivatives as antibacterial agents

INVENTOR(S): Raju, Bora G.; Odowd, Hardwin; Gao, Hongwu; Patel, Dinesh V.; Trias, Joaquim

PATENT ASSIGNEE(S): Vircuron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

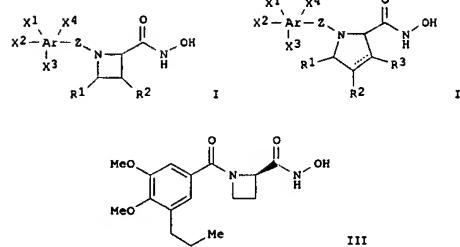
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004007444 | A2 | 20040122 | WO 2003-US21838 | 20030711 |
| WO 2004007444 | A3 | 20040910 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| R: CH, CN, KI, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, RM, AZ, BY, DE, DK, ES, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, RO, SE, SI, SK, TR, BE, BO, CF, CG, CL, CM, GA, GN, GQ, GU, HL, MR, NE, SN, TD, TG | | | | |
| CA 2492035 | AA | 20040115 | CA 2003-2492035 | 20030711 |
| EP 1539744 | A2 | 20050615 | EP 2003-748939 | 20030711 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005536510 | T2 | 20051202 | JP 2004-521744 | 20030711 |
| PRIORITY APPLN. INFO.: | | | US 2002-394862P | P 20020711 |
| | | | WO 2003-US21838 | W 20030711 |

OTHER SOURCE(S): MARPAT 140:111265
GI



AB Title compds. I or II (wherein A = (hetero)aryl; X1-X4 = independently H, (halo)alkyl, (halo)alkylthio, (halo)alkylsulfinyl, (halo)alkylsulfonyl, hydroxy(alkyl), alkoxy(alkyl), haloalkoxy, alkenyl, alkenyloxy(alkyl), alkynyl(oxy), NO2, halo, cycloalkyl(alkyl), arylalkoxy(alkyl), haloaryalkyl(ynyl), alkylisilylalkynyl, acyl, aminocarbonylalkyl, carboxylate, carboxy, carbonyl, carbonyl amido, or (un)substituted heterocyclyl; R1 and

R3 = independently H, (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo, OH, alkoxy, or (un)substituted (hetero)aryl or aryloxy; R2 = H (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo, OH, alkoxy, or (un)substituted (hetero)aryl or aryloxy; ; Z = CH2 or CO; and pharmaceutically acceptable salts, tautomers, and prodrugs thereof) were prepared as inhibitors of UDP-3-O-(R-3-hydroxymyristoyl)-N-acetylglucosamine deacetylase (LpxC deacetylase), an enzyme present in gram neg. bacteria (no data). For example, azetidine-2R-carboxylic acid Me ester hydrochloride salt was coupled with 3,4-dimethoxy-5-propylbenzoic acid in DMF to give the benzoylazetidinyl derivative (81%). The ester was treated

with aqueous hydroxylamine in dioxane to afford III. Preferred compds. of the invention have MIC \leq 128 μ g/mL against at least one of a specified list of bacteria (no data). Thus, I, II, and their pharmaceutical compns. are useful as antimicrobials and antibiotics (no data).

IT 647856-14-2P, (R)-1-(3,5-Diallyl-4-methoxybenzoyl)azetidine-2-carboxylic acid hydroxyamide 647856-15-3P, (R)-1-(4-Methoxy-3,5-dipropylbenzoyl)azetidine-2-carboxylic acid hydroxyamide

647856-18-6P, (R)-1-(4-Methoxy-3-propylbenzoyl)azetidine-2-

carboxylic acid hydroxyamide

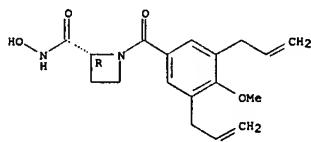
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antibacterial agent; preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxymamide derivs. as antibacterial agents)

L4 ANSWER 5 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

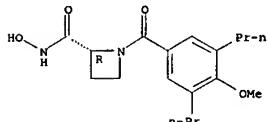
RN 647856-14-2 HCPLUS
CN 2-Azetidinecarboxamide,
N-hydroxy-1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,
(2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



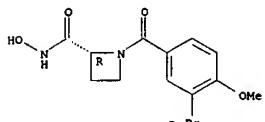
RN 647856-15-3 HCPLUS
CN 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3,5-dipropylbenzoyl)-,
(2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 647856-18-6 HCPLUS
CN 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3-propylbenzoyl)-, (2R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

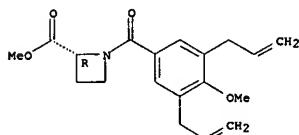


IT 647856-16-4, (R)-1-(3,5-Diallyl-4-methoxybenzoyl)azetidine-2-
carboxylic acid methyl ester

L4 ANSWER 5 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azetidinocarboxylic acid and pyrrolidinocarboxylic acid
N-hydroxymide derivs. as antibacterial agents)
RN 647856-16-4 HCPLUS
CN 2-Azetidinecarboxylic acid, 1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,
methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003-887103 HCPLUS

DOCUMENT NUMBER: 140-93653

TITLE: An Evaluation of Amide Group Planarity in
7-Azabicyclo[2.2.1]heptane Amides. Low Amide Bond
Rotation Barrier in Solution

AUTHOR(S): Otani, Yuko; Nagae, Osamu; Naruse, Yuji; Inagaki,
Satoshi; Ohno, Masashi; Yamaguchi, Kentaro; Yamamoto,
Gaku; Uchiyama, Masanobu; Ohwada, Tomohiko

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The
University of Tokyo, Bunkyo, Tokyo, 113-0033, Japan
SOURCE: Journal of the American Chemical Society (2003),
125(49), 15191-15199

PUBLISHER: CODEN: JACSAT; ISSN: 0002-7863
American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:93653

AB Here we show that amides of bicyclic 7-azabicyclo[2.2.1]heptane are
intrinsically nitrogen-pyramidal. Single-crystal X-ray diffraction
structures of some relevant bicyclic amides, including the prototype
N-benzoyl-7-azabicyclo[2.2.1]heptane, exhibited nitrogen-pyramidalization
in the solid state. We evaluated the rotational barriers about the amide
bonds of various N-benzoyl-7-azabicyclo[2.2.1]heptanes in solution. The
observed

reduction of the rotational barriers of the bicyclic amides, as compared
with those of the monocyclic pyrrolidine amides, is consistent with a
nitrogen-pyramidal structure of 7-azabicyclo[2.2.1]heptane amides in
solution.

A good correlation was found between the magnitudes of the rotational
barrier of N-benzoyl-7-azabicyclo[2.2.1]heptanes bearing
para-substituents

on the benzoyl group and the Hammett's σ_{p} const., and this is
consistent with the similarity of the solution structures. Calcdns. with

the d. functional theory reproduced the nitrogen-pyramidal structures of

these
bicyclic amides as energy min. The calculated magnitudes of electron
delocalization from the nitrogen nonbonding $n\pi$ orbital to the carbonyl
 π^* orbital of the amide group evaluated by application of the bond
model theory correlated well with the rotational barriers of a variety of
amides, including amides of 7-azabicyclo[2.2.1]heptane. The nonplanarity
of the amide nitrogen of 7-azabicyclo[2.2.1]heptanes would be derived

from
nitrogen-pyramidalization due to the CNC angle strain and twisting of the
amide bond due to the allylic strain.

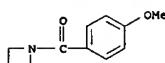
IT 643026-89-5P
RL: PEP (Physical, engineering or chemical process); PRP (Properties);

PYP (Physical process); SPA (Synthetic preparation); PREP (Preparation); PROC
(Process)
(evaluation of amide group planarity in azabicycloheptane amides)

RN 643026-89-5 HCPLUS

CN Azetidine, 1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 7 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001-713343 HCPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- α

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2001070134 | A2 | 20010927 | WO 2001-US8336 | 20010315 |
| WO 2001070734 | A3 | 20020314 | | |
| W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| CA 2400168 | AA | 20010927 | CA 2001-2400168 | 20010315 |
| NU 2001050850 | A5 | 20011003 | NU 2001-50850 | 20010315 |
| EP 1263756 | A2 | 20021211 | EP 2001-924171 | 20010935 |
| EP 1263756 | B1 | 20040225 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, LT, LV, FI, RO, CY, TR | | | | |
| BR 200109469 | A | 20030429 | BR 2001-9469 | 20010315 |
| JP 2003528097 | T2 | 20030924 | JP 2001-568935 | 20010315 |
| AT 260272 | E | 20040315 | AT 2001-924171 | 20010315 |
| NZ 521245 | A | 20040430 | NZ 2001-521245 | 20010315 |
| ES 2215893 | T3 | 20041016 | ES 2001-1524171 | 20010315 |
| US 2002013341 | A1 | 20020131 | US 2001-811116 | 20010316 |
| US 6495565 | B2 | 20021217 | | |
| HK 1049334 | A1 | 20040716 | HK 2003-101437 | 20030226 |
| PRIORITY APPLN. INFO.: | | | US 2000-190183P | P 20000317 |
| | | | US 2000-235467P | P 20000926 |
| | | | US 2000-252062P | P 20001120 |
| | | | WO 2001-US8336 | W 20010315 |

OTHER SOURCE(S): MARPAT 135:272894

AB Novel β -amino acid derivs. A-CR3R4CR2R4NR1CO-X-2-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)R: NH (Ra = H, alkyl, P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; U is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Us is absent or O, NR1 [Ra = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ral may form

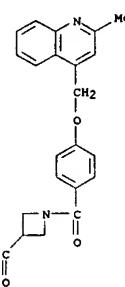
a ring], CO, CO2, O2C, CONR1, S(O)p (p = 0-2), etc.; Ya is absent or O, NR1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted

L4 ANSWER 7 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued) Carbocycle or heterocycle), alkylene-Q, (CRaRal)r1O(CRaRal)r-Q (r, r1 = 0-4), (CRaRal)r1NRa(CRaRal)r-C, etc. R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRal)r1O(CRaRal)r-Q1, (CRaRal)r1NRa(CRaRal)r-Q1,

etc.; R4, R4 = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4 may form rings (with provisos) or a stereoisomer or pharmaceutically acceptable salt were prep'd. as metalloprotease and TNF- α inhibitors. Thus, N-hydroxy-1-[4-(2-methyl-4-quinolinyl)methoxyphenylacetyl]-3-azetidinecarboxamide was prep'd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.IT 362697-32-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of β -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- α)

RN 362697-32-3 HCPLUS

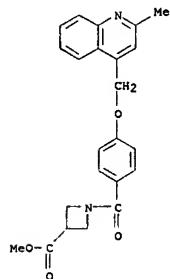
CN 3-Azetidinecarboxamide, N-hydroxy-1-[4-(2-methyl-4-quinolinyl)methoxybenzoyl]-3-methyl ester (9CI) (CA INDEX NAME)

IT 362703-18-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of β -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- α)

RN 362703-18-2 HCPLUS

CN 3-Azetidinecarboxylic acid, 1-[4-(2-methyl-4-quinolinyl)methoxybenzoyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 8 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000-210150 HCPLUS

DOCUMENT NUMBER: 132-251067

TITLE: Novel amidine derivatives, their preparation and application as inhibitors of NO synthase and lipid peroxidation, and pharmaceutical compositions containing them

INVENTOR(S): Auvin, Serge; Chabrier de Lassauniere, Pierre-Etienne

PATENT ASSIGNEE(S): Harnett, Jeremiah; Pons, Dominique; Ulibarri, Gerard Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S., Fr.)

SOURCE: PCT Int. Appl., 119 pp.

DOCUMENT TYPE: Patent

LANGUAGE: French

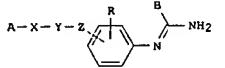
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

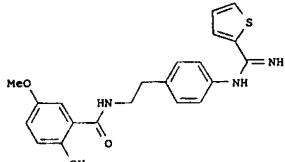
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2000017190 | A2 | 20000330 | WO 1999-FR2250 | 19990922 |
| WO 2000017190 | A3 | 20001026 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TU, TZ, TR, TT, TZ, UR, UC, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GM, MR, NE, SN, TD, TG | | | | |
| FR 2783519 | A1 | 20000324 | FR 1998-11868 | 19980923 |
| FR 2783519 | B1 | 20030124 | | |
| CA 2344242 | AA | 20000330 | CA 1999-2344224 | 19990922 |
| NU 9956314 | A1 | 20000416 | AU 1999-56314 | 19990922 |
| AU 766373 | B2 | 20031016 | | |
| BR 9913904 | A | 20010703 | BR 1999-13904 | 19990922 |
| EP 1115719 | A2 | 20010718 | EP 1999-943024 | 19990922 |
| EP 1115719 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002526493 | T2 | 20020820 | JP 2000-574099 | 19990922 |
| NT 233750 | E | 20030315 | AT 1999-943024 | 19990922 |
| EP 1318149 | A1 | 20030611 | EP 2002-26170 | 19990922 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| PT 1115719 | T | 20030731 | PT 1999-943024 | 19990922 |
| NZ 511189 | A | 20030926 | MZ 1999-511189 | 19990922 |
| ES 2194501 | T3 | 20031116 | ES 1999-943024 | 19990922 |
| RU 2238939 | C2 | 20041027 | RU 2001-111022 | 19990922 |
| IL 141998 | A1 | 20050925 | IL 1999-141998 | 19990922 |
| US 6653312 | B1 | 20031125 | US 2001-787467 | 20010316 |
| NO 2001001479 | A | 20010518 | NO 2001-1479 | 20010322 |
| ZA 2001003204 | A | 20020919 | ZA 2001-3204 | 20010419 |
| HK 1042486 | A1 | 20050225 | HK 2002-103892 | 20020524 |
| US 2005261269 | A1 | 20051124 | US 2003-662183 | 20030912 |
| PRIORITY APPLN. INFO.: | | | FR 1998-11868 | A 19980923 |
| | | | EP 1999-943024 | A3 19990922 |

L4 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 WO 1995-FR2250 W 19990922
 US 2001-787467 A3 20010316

OTHER SOURCE(S): MARPAT 132:251067
 GI



I



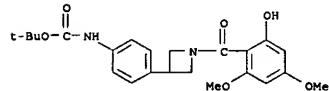
II

AB The invention concerns novel amidine derivs., including compds. I [R = H, alkyl, alkoxy; A = certain substituted aryl or (un)substituted heteroaryl groups; B = alkyl, (un)substituted aryl or heteroaryl, (un)substituted or heterocyclic amino; X = bond, (CH₂)_m, O(CH₂)_m, S(CH₂)_m, O(CH₂)_mO, CH:CH, etc.; Y = bond, (CH₂)_n, (CH₂)_pO(CH₂)_s; Q = piperazine, homopiperazine, piperidine, pyrrolidine, azetidine, thiazolidine, saturated C₃-7 carbocycles, etc.; Z = bond, (CH₂)_pO(CH₂)_q, (CH₂)_pS(CH₂)_q, (CH₂)_pH(CH₂)_q, etc; m, n, p, q, r, s = 0-6], as well as addnl. specific compds. In particular, 2-hydroxy-5-methoxy-N-[2-(4-((2-thienyliminomethyl)aminophenyl)ethyl]benzamide (II) and 2,5-dihydroxy-N-[2-(4-((2-thienyliminomethyl)aminophenyl)ethyl]benzamide are disclosed. Also disclosed are the use of I as medicines, and pharmaceutical compns. containing them. For instance, amidation of 5-methoxysalicylic acid with 4-nitrophenethylamine-HCl, followed by hydrogenation of the nitro group to amino, condensation of the amine with S-methyl-2-thiophenethiocarboximide-HI, and acidification in acetone, gave II.HCl. The IC₅₀ of selected I, including II.HCl, against rat neuronal NO synthase in vitro, was < 3.5 μM.

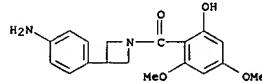
IT 262614-42-6P 262614-43-7P

L4 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Intermediate; prepn. of amidine derivs. as inhibitors of NO synthase and/or lipid peroxidn.)

RN 262614-42-6 HCAPLUS
 CN Carbamic acid,
 [4-(1-(2-hydroxy-4,6-dimethoxybenzoyl)-3-azetidinyl)phenyl]-
 , 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 262614-43-7 HCAPLUS
 CN Azetidine, 3-(4-aminophenyl)-1-(2-hydroxy-4,6-dimethoxybenzoyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997-612831 HCAPLUS
 DOCUMENT NUMBER: 127:278203
 TITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
 INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Williams, Peter D.; Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs, Doug W.; Anderson, Paul S.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 5665719 | A | 19970909 | US 1995-470693 | 19950606 |
| PRIORITY APPLN. INFO.: | | | US 1993-92840 | B2 19930716 |

OTHER SOURCE(S): MARPAT 127:278203
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

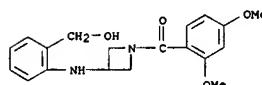
AB Compds. of formula I [X = O, NH, or NR₂; Y = CH₂, CHR₂, or C(R₂)₂; R₁ = camphor-10-yl, alkoxy, styryl, hydroxystyryl, furyl, (un)substituted thiienyl, indolyl, tetrahydronaphthyl, (un)substituted pyridyl, pyrazinyl, (un)substituted cyclohexyl or Ph; R₂ = H, alkoxy, alkyl, amino, alkylcarboxyamino, nitro, or halo; R₃ = H, alkoxycarbonyl, cyano, or carbamoyl; and m = 0 or 1] and various analogs are disclosed. The compds. as useful as oxytocin (OT) and vasopressin receptor antagonists. Over 275 synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (60%), saponification of the Me ester (95%), and coupling of the resultant acid with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDPA and HOBT (88%), to give title compound II [R = CO₂Bu-tert].

The latter was deprotected with HCl in dioxane (93%) and acetylated with Ac₂O (89%) to give title compound II [R = Ac]. The latter inhibited binding of (3H)-OT to rat uterine OT receptors in vitro with an IC₅₀ of 47 nM.

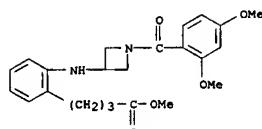
IT 162045-63-8P 162045-66-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Intermediate; preparation of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)

RN 162045-63-8 HCAPLUS
 CN 3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-[2-(hydroxymethyl)phenyl]-

L4 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (9CI) (CA INDEX NAME)

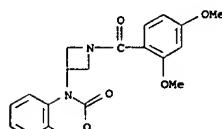


RN 162045-66-1 HCAPLUS
 CN Benzenebutanoic acid, 2-[(1-(2,4-dimethoxybenzoyl)-3-azetidinyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



IT 162042-77-5P 162042-79-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOI (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)

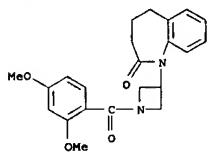
RN 162042-77-5 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-(9CI) (CA INDEX NAME)



RN 162042-79-7 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)-(9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



L4 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:513507 HCAPLUS

DOCUMENT NUMBER: 125:131668

TITLE: 2-Azetidinone Cholesterol Absorption Inhibitors: Structure-Activity Relationships on the Heterocyclic Nucleus

AUTHOR(S): Clader, John W.; Burnett, Duane A.; Caplen, Mary Ann; Domalski, Martin S.; Dugar, Sundeep; Vaccaro, Wayne; Sher, Rosy; Browne, Margaret E.; Zhao, Hongrong; et al.

CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(19), 3684-3693

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of azetidinone cholesterol absorption inhibitors related to SCH 48461 was prepared, and evaluated for their ability to inhibit hepatic cholesterolemia formation in a cholesterol-fed hamster model. Although originally designed as acyl CoA:cholesterol acyltransferase (ACAT) inhibitors, comparison of in vivo potency with in vitro activity in a microsomal ACAT assay indicates no correlation between activity in these

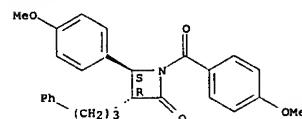
2 models. The mol. mechanism by which these compds. inhibit cholesterol absorption is unknown. Despite this limitation, examination of the in vivo activity of a range of compds. has revealed clear structure-activity relationships consistent with a well-defined mol. target. The details of these structure-activity relationships and their implications on the nature of the putative pharmacophore are discussed.

IT 179763-35-OP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (structure-activity relations of azetidinone cholesterol absorption inhibitors)

RN 179763-35-0 HCAPLUS

CN 2-Azetidinone, 1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-(3-phenylpropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L4 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:954289 HCAPLUS

DOCUMENT NUMBER: 124:116909

TITLE: Simple and condensed β -lactams. Part 23. Synthesis of some compounds related to the monobactams, carrying non-acylamino substituents in position 3, and heterocycl or heterocyclylmethyl substituents in position 4 of the β -lactam ring

AUTHOR(S): Fetter, Jozsef; Bertha, Ferenc; Czuppon, Tibor; Kajtar-Peregy, Maria; Lempert, Karoly

CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ. Budapest, Budapest, H-1521, Hung.

SOURCE: Journal of Chemical Research, Synopses (1995), (11), 444-5

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:116909

GI

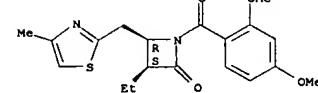
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Thirteen new racemic monobactams, I (trans or cis, M = Na, H; R1 = alkyl, substituted alkyl; R2 = Me, NH2, NHCHO), II, III, IV (cis or trans, R3 = Et, CHMe2), V and VI, carrying non-acylamino substituents in position 3, and heterocycl or heterocyclylmethyl substituents in position 4 of the β -lactam ring, as well as 'reversed' monobactam analog, were synthesized. None of the prepared compds. exhibited any microbiol. activity.IT 172698-00-9
RL: BYP (Byproduct); PREP (Preparation)
(synthesis of some compds. related to the monobactams and their antimicrobiol. activity)

RN 172698-00-9 HCAPLUS

CN 2-Azetidinone, 1-(2,4-dimethoxybenzoyl)-3-ethyl-4-[(4-methyl-2-thiazolyl)methyl]-, cis- (9CI) (CA INDEX NAME)

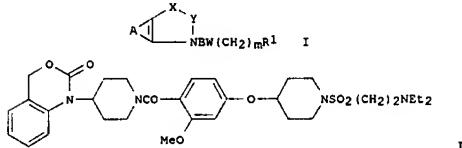
Relative stereochemistry.



L4 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995-470323 HCAPLUS
 DOCUMENT NUMBER: 123:276051
 TITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
 INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettibone, Douglas J.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: PCT Int. Appl., 385 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9502405 | A1 | 19950126 | WO 1994-US57784 | 19940714 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GB, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2166975 | AA | 19950126 | CA 1994-2166975 | 19940714 |
| CA 2166975 | C | 20050405 | | |
| AU 9475132 | A1 | 19950213 | AU 1994-75132 | 19940714 |
| AU 691829 | B2 | 19980528 | | |
| EP 714299 | A1 | 19960605 | EP 1994-925092 | 19940714 |
| EP 714299 | B1 | 20020424 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| JP 09500134 | T2 | 19970107 | JP 1994-504656 | 19940714 |
| AT 216580 | E | 20020515 | AT 1994-925092 | 19940714 |
| | | | US 1993-92840 | A 19930716 |
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| | | | WO 1994-US57784 | W 19940714 |

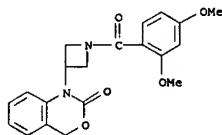
OTHER SOURCE(S): MARPAT 123:276051
 GI



II

L4 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 AB Fused N-containing heterocyclic ring system derivs. I (A completes a 5- or 6-membered carbocyclic or N- and/or S-containing heterocyclic ring; X = O, NH, (CH₂)₂O, CH₂NH, OCH₂, CH:CH, S, etc.; Y = CH₂, C=O, C=S, C:NH, C:NMe; B = C=O, CO₂, SO₂, C:(NC₂Ph), etc.; R₁ = (hetero)aryl, Cl-5 alkoxy, camphor-10-yl), are useful as oxytocin and vasopressin receptor antagonists, e.g. in treatment of preterm labor and dysmenorrhea and in stopping labor preparatory to cesarean delivery. Thus, in competitive radioligand binding assays on rat uterus membrane preps., high-affinity binding of oxytocin-3H was inhibited by 1-[1-(4-[(diethylaminoethyl)sulfonyl]-4-piperidinyl)oxy]-2-methoxybenzoyl)piperidin-4-yl]-1,2-dihydro-4H-3,1-benzoxazin-2-one (II), with an IC₅₀ of 23 nM. II was prepared in 7 steps from Me₂C=CHCO₂Et, N-tert-butyl-4-piperidinol, 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one-HCl (preparation given), ClCH₂CH₂SO₂Cl, and HNET₂. Preparation of 277 compds. of formula I is described.
 IT 162042-77-5P 162042-79-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
 RN 162042-77-5 HCAPLUS
 CN Azetidine, 1-(2-(4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-

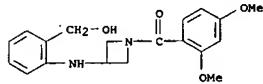
(9CI) (CA INDEX NAME)



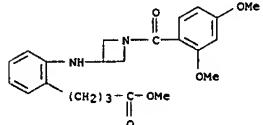
RN 162042-79-7 HCAPLUS
 CN Azetidine, 1-(2-(4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 162045-63-0P 162045-66-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
 RN 162045-63-0 HCAPLUS
 CN 3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-[2-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)

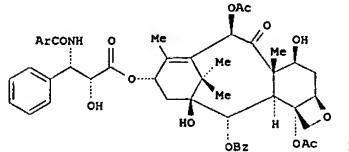


RN 162045-66-1 HCAPLUS
 CN Benzenobutanonic acid, 2-[(1-(2,4-dimethoxybenzoyl)-3-azetidinyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



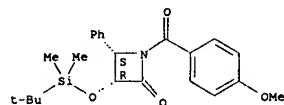
L4 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995-44917 HCAPLUS
 DOCUMENT NUMBER: 122:56244
 TITLE: Topiliss approach to the synthesis of biologically active substituted N-benzoyl taxol analogs
 AUTHOR(S): Georg, Gundula I.; Boge, Thomas C.; Cheruvallath, Zachariah S.; Harriman, Geraldine C. B.; Heppeler, Michael; Park, Haeil; Himes, Richard H.
 CORPORATE SOURCE: Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(15), 1825-30
 DOCUMENT TYPE: CODEN: BMCLB8; ISSN: 0960-894X
 LANGUAGE: Journal
 GI



AB A series of compds., e.g. I (R = Cl, MeO, 3,4-C12, Me2N, NO₂, etc.), directed by the Topiliss Operational Scheme, were synthesized and evaluated to investigate structure activity relationships of the N-benzoyl moiety of taxol. Evaluation of the newly prepared derivs. in the microtubule assembly assay and for cytotoxicity revealed that they possessed biol. properties similar to taxol.
 IT 160058-87-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction with triethylsilyl baccatin III in synthesis of taxol analogs)
 RN 160058-87-7 HCAPLUS
 CN 2-Azetidinone, 3-[(1,1-dimethyl ethyl)dimethylsilyloxy]-1-(4-methoxybenzoyl)-4-phenyl-, (3R-cis)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 13 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 14 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:539574 HCPLUS
 DOCUMENT NUMBER: 119:139574
 TITLE: Preparation of substituted isoserine esters using metal alkoxides and (β -lactams
 INVENTOR(S): Holton, Robert A.
 PATENT ASSIGNEE(S): Florida State University, USA
 SOURCE: PCT Int. Appl., 82 pp.
 CODEN: PIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 28
 PATENT INFORMATION:

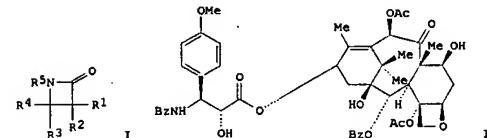
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9306079 | A1 | 19930401 | WO 1992-US7990 | 19920922 |
| W: AU, CA, CS, FI, HU, JP, KP, KR, NO, PL, RU RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| CA 2221190 | C | 20020212 | CA 1992-2221190 | 19920902 |
| ZA 9206827 | A | 19930315 | ZA 1992-6827 | 19920908 |
| ZA 9206828 | A | 19930315 | ZA 1992-6828 | 19920908 |
| ZA 9206829 | A | 19930315 | ZA 1992-6829 | 19920908 |
| ZA 9207038 | A | 19930514 | ZA 1992-7038 | 19920915 |
| ZA 9207039 | A | 19931220 | ZA 1992-7039 | 19920915 |
| CA 2098478 | AA | 19930324 | CA 1992-2098478 | 19920922 |
| CA 2098478 | C | 19990914 | | |
| AU 9226890 | A1 | 19930427 | AU 1992-26890 | 19920922 |
| AU 647971 | B2 | 19940331 | | |
| EP 605637 | A1 | 19940713 | EP 1992-921316 | 19920922 |
| EP 605637 | B1 | 19990324 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| JP 07502983 | T2 | 19950330 | JP 1993-506299 | 19920922 |
| JP 3469237 | B2 | 20031125 | | |
| HU 71795 | A2 | 19960228 | HU 1994-830 | 19920922 |
| EP 884314 | A2 | 19981216 | EP 1998-114788 | 19920922 |
| EP 884314 | A3 | 20020502 | | |
| EP 884314 | B1 | 20040121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| RU 2128654 | C1 | 19990410 | RU 1994-44324 | 19920922 |
| AT 178060 | E | 19990415 | AT 1992-921316 | 19920922 |
| ES 2132129 | T3 | 19990816 | ES 1992-921316 | 19920922 |
| CZ 287417 | B6 | 20001115 | CZ 1994-660 | 19920922 |
| CZ 287609 | B6 | 20010117 | CZ 1994-661 | 19920922 |
| EP 1193252 | A2 | 20020403 | EP 2002-688 | 19920922 |
| EP 1193252 | A3 | 20031105 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE, MC, IE | | | | |
| CA 2254273 | C | 20030325 | CA 1992-2254273 | 19920922 |
| AT 258171 | E | 20040215 | AT 1998-114788 | 19920922 |
| ES 2214665 | T3 | 20040916 | ES 1998-114788 | 19920922 |
| AU 9339838 | A1 | 19930819 | AU 1993-39838 | 19930527 |
| AU 642392 | B3 | 19931014 | | |
| FI 9401325 | A | 19940504 | FI 1994-1325 | 19940322 |
| FI 113046 | B1 | 20040227 | | |
| NO 9401022 | A | 19940520 | NO 1994-1022 | 19940322 |
| NO 306209 | B1 | 19991004 | | |

L4 ANSWER 14 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|------------------------|----|----------|------------------|------------|
| TW 396159 | B | 20000701 | TW 1994-83103422 | 19940418 |
| US 5339103 | A | 19960723 | US 1994-351532 | 19941207 |
| US 5723644 | A | 19980303 | US 1995-483309 | 19950607 |
| US 6066747 | A | 20000523 | US 1995-522307 | 19951030 |
| US 6092620 | A | 20000530 | US 1997-941640 | 19970930 |
| US 6479678 | B1 | 20021112 | US 2000-517791 | 20000302 |
| US 200104746 | A1 | 20010816 | US 2001-804821 | 20010313 |
| US 6561662 | B2 | 20030513 | | |
| US 200307855 | A1 | 20030206 | US 2002-208418 | 20020730 |
| US 670191 | B2 | 20040233 | | |
| US 200310096 | A1 | 20030626 | US 2002-289103 | 20021106 |
| US 6683196 | B2 | 20040127 | | |
| JP 2004043439 | A2 | 20040212 | JP 2003-128200 | 20030506 |
| US 2004073048 | A1 | 20040415 | US 2003-673897 | 20030929 |
| PRIORITY APPLN. INFO.: | | | US 1991-763805 | A 19910923 |
| US 1992-862955 | A | 19920403 | | |
| US 1992-863840 | A | 19920406 | | |
| US 1992-863451 | A | 19920403 | | |
| US 1992-863849 | A | 19920406 | | |
| US 1992-900408 | A | 19920618 | | |
| CA 1992-2077394 | A3 | 19920902 | | |
| CA 1992-2098478 | A3 | 19920922 | | |
| CS 1994-660 | A | 19920922 | | |
| CS 1994-661 | A | 19920922 | | |
| EP 1992-921316 | A3 | 19920922 | | |
| EP 1998-114788 | A3 | 19920922 | | |
| JP 1993-506299 | A3 | 19920922 | | |
| US 1992-949107 | B3 | 19920922 | | |
| WO 1992-US7990 | A | 19920922 | | |
| US 1992-967998 | B1 | 19921026 | | |
| WO 1994-US2382 | W | 19940304 | | |
| US 1994-263270 | B1 | 19940621 | | |
| US 1994-314532 | A1 | 19940928 | | |
| US 1994-351532 | A3 | 19941207 | | |
| US 1995-483309 | A3 | 19950607 | | |
| US 1996-607108 | A1 | 19960226 | | |
| US 1997-941640 | A1 | 19970930 | | |

L4 ANSWER 14 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | |
|----------------|-------------|
| US 2000-517791 | Al 20000302 |
| US 2000-566970 | Al 20000509 |
| US 2002-194343 | Al 20020712 |
| US 2002-289103 | Al 20021106 |

OTHER SOURCE(S): MARPAT 119:139574
GI

AB: A metal alkoxide $MOCE1E2E3$ ($M = \text{alkali metal}$, $E1, E2, E3 = \text{H, aliphatic, aryl alkanoxy}$) is reacted with a β -lactam I [$R1 = (\text{un})\text{protected OH, SH, NH}_2$; $R2 = \text{H, aliphatic, aryl, heteroaryl}$, $R3, R4 = \text{aliphatic, aryl, heteroaryl, acyl, acyloxy, thiocarboxy, amido, sulfonyl, phosphoryl}$] to give isoserine esters $R5NHCR3R4CR1R2CO2CE1E2E3$ which are reacted with a metal derivative of a taxol derivative to give appropriately substituted isoserine esters, e.g. II.

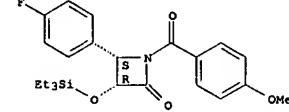
IT: 149197-26-29
RL: SRN (Synthetic preparation); PREP (Preparation)

(sequential lithiation and esterification by azetidinone derivative of baccatin III derivative in preparation of taxol-related compound)

RN: 149197-26-2 HCPLUS

CN: 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3-((triethylsilyl)oxy)-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

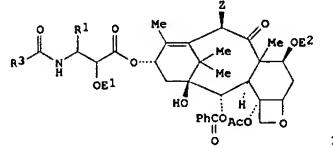


L4 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993-49694 HCAPLUS
 DOCUMENT NUMBER: 119:49694
 TITLE: Preparation of substituted taxanes as antitumor
 agents
 INVENTOR(S): Holton, Robert A.; Nadizadeh, Hossain; Beidiger,
 Ronald J.; Kim, Seokchan
 PATENT ASSIGNEE(S): Florida State University, USA
 SOURCE: Eur. Pat. Appl., 43 pp.
 CODEN: EPXWDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 28
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| EP 534709 | A1 | 19930331 | EP 1992-308609 | 19920922 |
| EP 534709 | B1 | 20030115 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, | | | | |
| SE | | | | |
| US 5250683 | A | 19931005 | US 1992-863451 | 19920403 |
| CA 2077394 | AA | 19930324 | CA 1992-2077394 | 19920902 |
| CA 2077394 | C | 19990406 | | |
| CA 2221190 | C | 20020212 | CA 1992-2221190 | 19920902 |
| AU 9221224 | A1 | 19930325 | AU 1992-22124 | 19920904 |
| AU 655493 | B2 | 19941222 | | |
| ZA 9206827 | A | 19930315 | ZA 1992-6827 | 19920908 |
| ZA 9206828 | A | 19930315 | ZA 1992-6828 | 19920908 |
| ZA 9206829 | A | 19930315 | ZA 1992-6829 | 19920908 |
| ZA 9207038 | A | 19930514 | ZA 1992-7038 | 19920915 |
| ZA 9207039 | A | 19931220 | ZA 1992-7039 | 19920915 |
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| NO 305205 | B1 | 19990419 | | |
| HU 63155 | A2 | 19930728 | HU 1992-3024 | 19920922 |
| HU 215110 | B | 19981228 | | |
| JP 06169824 | A2 | 19940719 | JP 1992-276765 | 19920922 |
| JP 3182231 | B2 | 20010703 | | |
| EP 884314 | A2 | 19981216 | EP 1998-114788 | 19920922 |
| EP 884314 | A3 | 20020502 | | |
| EP 884314 | B3 | 20040121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE | | | | |
| AT 231139 | E | 20030215 | AT 1992-308609 | 19920922 |
| CA 2254273 | C | 20030325 | CA 1992-2254273 | 19920922 |
| ES 2191005 | T3 | 20030901 | ES 1992-308609 | 19920922 |
| TW 396159 | B | 20000701 | TW 1994-83103422 | 19940418 |
| US 5539103 | A | 19960723 | US 1994-351532 | 19941207 |
| US 5723634 | A | 19980303 | US 1995-483309 | 19950607 |
| US 6066747 | A | 20000523 | US 1995-522307 | 19951030 |
| US 6069260 | A | 20000530 | US 1997-941640 | 19970930 |

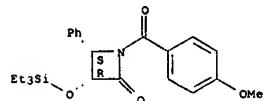
L4 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 2000-566970 A1 20000509
 US 2002-194343 A1 20020712
 US 2002-289103 A1 20021106

OTHER SOURCE(S): MARPAT 119:49694
 GI



AB Taxane derivs. I [R1, R3 = Ph, naphthyl, PhCH2CH2, 4-OC6H4 (O = Me, Me3C, MeO, Cl, Br, F, O2N), 1,3-benzodioxolan-5-yl, 3,4-(MeO)2C6H3; Z = OT1 (T1 = H, hydroxyl protecting group, COT2, where T2 = H, Cl-6 alkyl, Cl-6 alkenyl, Cl-6 alkynyl or monocyclic aryl); E1, E2 = H or certain functional groups which increase the water solubility of the taxane derivative], were prepared. Thus, treatment of 7-triethylsilyl baccatin III in THF with BuLi at -45° followed by cis-1-benzoyle-1-triethylsilyloxy-4-(1-naphthyl)azetidin-2-one and subsequent desilylation (pyridine/aqueous HF in MeCN) gave 3'-desphenyl-3'-(1-naphthyl)taxol in 64% yield. Tubulin binding assays of the compds. were performed. The antitumor activity of compds. I were evaluated and claimed.
 IT 148549-09-1 148549-01-3 148549-09-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with lithiated (triethylsilyl)baccatin III, in preparation of neoplasia inhibitor)
 RN 148549-73-6 HCAPLUS
 CN 2-Azetidinone, 1-(4-methoxybenzoyl)-4-phenyl-3-((triethylsilyl)oxyl)-(3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



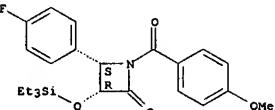
RN 148549-01-3 HCAPLUS

02/03/2006

L4 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 6479678 B1 20021112 US 2000-517791 20000302
 US 2001014746 A1 20010816 US 2001-804821 20010313
 US 6562962 B2 20030513
 US 2003027855 A1 20030206 US 2002-208418 20020730
 US 6710191 B2 20040323
 US 2003120096 A1 20030626 US 2002-289103 20021106
 JP 2004043439 A2 20040212 JP 2003-128200 20030506
 US 2004073048 A1 20040415 US 2003-673897 20030929
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 US 1992-862955 A 19920403
 US 1992-863840 A 19920406
 US 1992-863849 A 19920406
 US 1992-900408 A 19920618
 CA 1992-2077394 A3 19920902
 CA 1992-2098478 A3 19920922
 CS 1994-660 A 19920922
 CS 1994-661 A 19920922
 EP 1992-921316 A3 19920922
 EP 1998-114788 A3 19920922
 JP 1993-506299 A3 19920922
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 US 1992-967998 B1 19921026
 WO 1994-US2382 W 19940304
 US 1994-263270 B1 19940621
 US 1994-314532 A1 19940928
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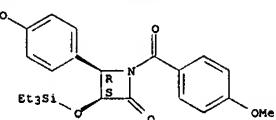
L4 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3-((triethylsilyl)oxy)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

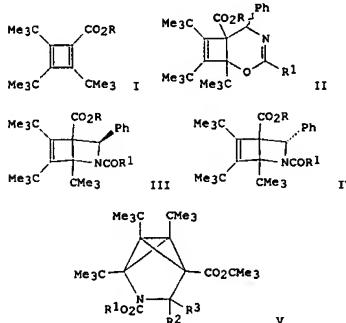


RN 148549-09-1 HCAPLUS
 CN 2-Azetidinone, 1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-((triethylsilyl)oxy)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

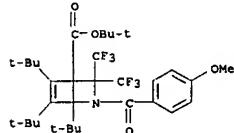


L4 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1989-7966 HCAPLUS
 DOCUMENT NUMBER: 110:7966
 TITLE: Antiaromatic compounds. 23. Cycloadditions of N-acylimines to cyclobutadienes
 AUTHOR(S): Michels, Gilbert; Regitz, Manfred; Hermesdorf, Michael; Schneider, Juergen
 CORPORATE SOURCE: Fachber. Chem., Univ. Kaiserslautern, Kaiserslautern, D-6750, Fed. Rep. Ger.
 SOURCE: Chemische Berichte (1988), 121(10), 1775-83
 DOCUMENT TYPE: CODEN: CHBEAM; ISSN: 0009-2940
 LANGUAGE: Journal German
 OTHER SOURCE(S): CASREACT 110:7966
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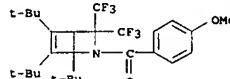


AB The cyclobutadienes I ($R = \text{Me}_3\text{C}$, Me) add the benzaldehyde imines $\text{PhCH}_2\text{NCOR}_1$ ($R_1 = \text{Me}$, Me_3CCH_2 , Ph) to yield the oxazabicyclo[4.2.0]octadienes II. Under thermal conditions (150-170 °C) III isomerize in each case to 2-azabicyclo[2.2.0]hexenes III and/or IV, which differ only in the configuration at C(3). Acid-catalyzed isomerization reactions of II (chloroform/trifluoroacetic acid) finally end up also in the formation of IV. The reaction of the N-acylimines of hexafluoroacetone with I ($R = \text{Me}_3\text{C}$) leads also to the formation of oxazabicyclo[4.2.0]octadienes. The reaction of I, ($R = \text{Me}_3\text{C}$) with the N-alkoxycarbonyl-substituted imines produces an unusual result with the formation of the 3-azatricyclo[3.1.0.0^{2,6}]hexanes V ($R_1 = \text{Me}$, $R_2 = \text{Ph}$, $R_3 = \text{H}$; $R_1 = \text{Et}$, $R_2 = R_3 = \text{F}_3\text{C}$) which can be transformed into bicyclic

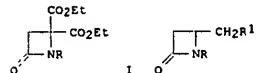
L4 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 1989-7966 HCAPLUS
 DOCUMENT NUMBER: 110:7966
 TITLE: Antiaromatic compounds. 23. Cycloadditions of N-acylimines to cyclobutadienes
 AUTHOR(S): Michels, Gilbert; Regitz, Manfred; Hermesdorf, Michael; Schneider, Juergen
 CORPORATE SOURCE: Fachber. Chem., Univ. Kaiserslautern, Kaiserslautern, D-6750, Fed. Rep. Ger.
 SOURCE: Chemische Berichte (1988), 121(10), 1775-83
 DOCUMENT TYPE: CODEN: CHBEAM; ISSN: 0009-2940
 LANGUAGE: Journal German
 OTHER SOURCE(S): CASREACT 110:7966
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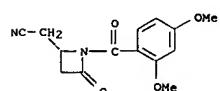
RN 114692-75-0 HCAPLUS
 CN 2-Azabicyclo[2.2.0]hex-5-ene, 1,5,6-tris(1,1-dimethylethyl)-2-(4-methoxybenzoyl)-3,3-bis(trifluoromethyl)-(9CI) (CA INDEX NAME)



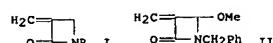
L4 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1986-514779 HCAPLUS
 DOCUMENT NUMBER: 105:114779
 TITLE: Simple and condensed β -lactams. II. The synthesis of new diethyl 4-oxoazetidine-2,2-dicarboxylates and some manipulations of their functional groups and N-substituents
 AUTHOR(S): Simidig, Gyula; Fetter, Jozsef; Hornyak, Gyula; Zauer, Karoly; Dolezalova, Gabor; Lampert, Karoly; Nyitrai, Jozsef; Gombos, Szuszka; Gizur, Tibor; et al.
 CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci., Budapest,
 H-1521, Hung.
 SOURCE: Acta Chimica Hungarica (1985), 119(1), 17-32
 DOCUMENT TYPE: CODEN: ACHUDC; ISSN: 0231-3146
 LANGUAGE: Journal English
 OTHER SOURCE(S): CASREACT 103:22390
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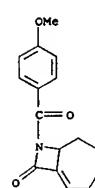
AB A series of new N-aryl- and N-alkyl-4-oxoazetidine-2,2-dicarboxylates I ($R = \text{Ph}$, substituted Ph, (un)substituted CH_2Ph) has been obtained by the Böse-Sheehan synthesis. Partial deethoxycarbonylation of I by Krapcho's method furnished the monocarboxylic esters. Reduction of the ester group of the latter gave the hydroxymethyl derivs., whose hydroxyl groups were derivatized and replaced to give II ($R_1 = \text{ONO}_2$, OAc , O_2CNH_2 , O_3SMe , halogen, cyano, N_3 , NH_2 , pyridinium). The N-substituent of II [$R = \text{CH}_2\text{CSH}_4(\text{OMe})_2-2,4$, $R_1 = \text{O}_3\text{SMe}$, cyano] was removed by the peroxydisulfate oxidation method.
 IT 103864-98-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 103864-99-9 HCAPLUS
 CN 2-Azetidineacetonitrile, 1-(2,4-dimethoxybenzoyl)-4-oxo- (9CI) (CA INDEX NAME)



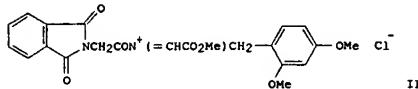
L4 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1985-422390 HCAPLUS
 DOCUMENT NUMBER: 103:22390
 TITLE: Debenzylation of N-benzyl- β -lactams by use of anodic oxidation
 AUTHOR(S): Horii, Miwako; Ban, Yoshiro
 CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
 SOURCE: Heterocycles (1985), 23(2), 317-23
 DOCUMENT TYPE: CODEN: HTCYAM; ISSN: 0385-5414
 LANGUAGE: Journal English
 OTHER SOURCE(S): CASREACT 103:22390
 GI



AB Anodic oxidation of the methylene- β -lactam I ($R = \text{CH}_2\text{Ph}$) in MeOH gave I ($R = \text{CH}_2\text{PhOMe}$) and II. Similar results were obtained with I ($R = \text{CH}_2\text{C}_6\text{H}_4\text{CO}_2\text{Me}-4$). Oxidation of I ($R = \text{CH}_2\text{C}_6\text{H}_4\text{CH}_2\text{OH}-4$, $\text{CH}(\text{OMe})\text{C}_6\text{H}_4\text{CH}_2\text{OH}-4$) and I ($R = \text{CH}_2\text{C}_6\text{H}_4\text{OMe}-4$) gave I ($R = \text{CH}(\text{OMe})\text{C}_6\text{H}_4\text{OMe}-4$, $\text{C}(\text{OMe})\text{C}_6\text{H}_4\text{OMe}-4$). Acid hydrolysis of I ($R = \text{CH}(\text{OMe})\text{C}_6\text{H}_4\text{R}$; $R_1 = \text{H}$, CO_2Me , Me , OMe) gave I ($R = \text{H}$,
 IT 96850-66-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 96850-66-7 HCAPLUS
 CN 8-Azabicyclo[5.2.0]non-1-en-9-one, 8-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1984-610814 HCPLUS
 DOCUMENT NUMBER: 101:210814
 TITLE: Chemical modification of sulfazecin. Synthesis of 4-methoxycarbonyl-2-azetidinone-1-sulfonic acid derivatives
 AUTHOR(S): Kishimoto, Shoji; Sendai, Michiyuki; Tomimoto, Mitsumi; Hashiguchi, Shohei; Matsuo, Tatsuke; Ochiai, Michihiko
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1984), 32(7), 2646-59
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
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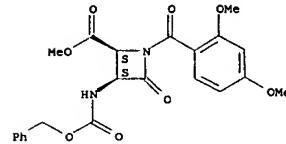


AB In the course of the chemical modification of sulfazecin, 3-[2-(2-aminothiazol-4-yl)-2-(substituted oxyimino)acetamido]-4-methoxycarbonyl-2-azetidinone-1-sulfonic acids were synthesized from cis-1-(2,4-dimethoxybenzyl)-4-methoxycarbonyl-3-phthalimidio-2-azetidinone (I). These new 4-substituted derivs. showed more potent antimicrobial activities against gram-neg. bacteria than did the corresponding 4-unsubstituted compounds, and the derivs. having 3,4-cis stereochem. were more active than the trans isomers, especially against *P. aeruginosa* and some β -lactamase-producing bacteria. The reported procedure for the cycloaddn. reaction used to prepare I was investigated in detail; by the use of 20% excess NEt₃, I was easily obtained in 72% yield as colorless crystals. A possible intermediate in this cycloaddn. reaction, acyliminium salt (II), was isolated as crystals and converted into β -lactam by treatment with 1,8-diazabicyclo[5.4.0]undecene.

IT 92973-54-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 92973-54-1 HCPLUS
 CN 2-Azetidinocarboxylic acid, 1-(2,4-dimethoxybenzoyl)-4-oxo-3-[(phenylmethoxy)carbonyl]amino-, methyl ester, cis- (9CI) (CA INDEX NAME)

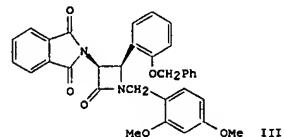
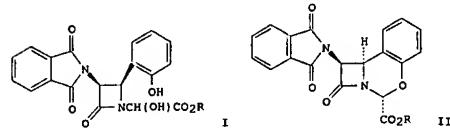
Relative stereochemistry.

L4 ANSWER 19 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 20 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1980-425983 HCPLUS
 DOCUMENT NUMBER: 93:25983
 TITLE: Studies on the synthesis of chemotherapeutics. VIII. Stereoselective synthesis of 1,9b-dihydro-2H,4H-2-oxo-

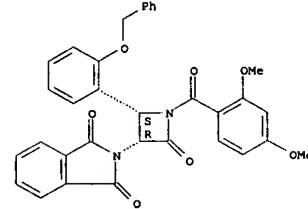
AUTHOR(S): Kametani, Tetsuji; Kigasawa, Kazuo; Hiragi, Mineharu;
 Wakisaka, Kikuo; Sugi, Hideo; Tanigawa, Keizo
 CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
 SOURCE: Yakugaku Zasshi (1979), 99(11), 1132-40
 CODEN: YKZAU; ISSN: 0031-6903
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 OTHER SOURCE(S): CASREACT 93:25983
 GI



AB Cyclization of the phthalimidooazetidinylacetates I (R = CH₂CCl₃, CH₂C₆H₄NO₂-p) gave stereoselectively the corresponding 1,2-benzo-3-oxacephams II together with a stereoisomer. The I were prepared via the cycloaddn. reaction of N-(2-benzylxybenzylidene)-2,4-dimethoxybenzylamine with phthalimidoglycyl chloride, followed by oxidative cleavage of 2,4-dimethoxybenzyl group of the adduct III. Deprotection of the N-phthaloyl and ester groups of II was also investigated.

IT 73902-73-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 73902-73-5 HCPLUS
 CN 2-Azidinone, 3-(1,3-dihydro-1,3-dioxo-2H-isocindol-2-yl)-1-(2,4-dimethoxybenzoyl)-4-[2-(phenylmethoxy)phenyl], cis- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 20 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Relative stereochemistry.



Andrew Freistein 10/804,505

| | | | |
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DICTIONARY FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4

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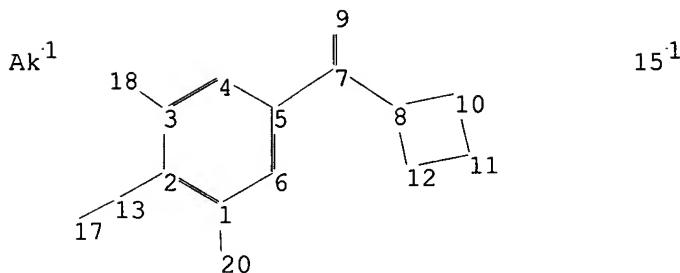
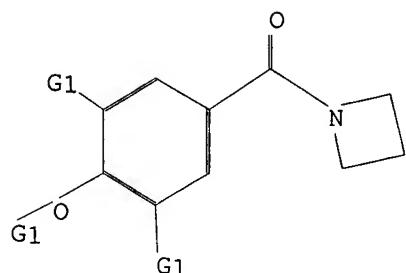
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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
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<http://www.cas.org/ONLINE/UG/regprops.html>

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ring nodes :
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normalized bonds :
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isolated ring systems :
containing 8 :

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G1:H, [*1]

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11:Atom 12:Atom 13:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS
Generic attributes :
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Number of Carbon Atoms : less than 7

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L5 STRUCTURE UPLOADED

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DICTIONARY FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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10.1% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 386964 TO 403796
PROJECTED ANSWERS: 0 TO 0

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Andrew Freistein 10/804,505

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FILE COVERS 1907 - 3 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 2 Feb 2006 (20060202/ED)

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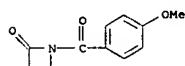
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L33 NOT FOUND
The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (>).

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L8 17 L7

=> d ibib hitstr 1-17

L8 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:1232819 HCAPLUS
 DOCUMENT NUMBER: 144:102803
 TITLE: Different Transition-State Structures for the Reactions of β -Lactams and Analogous β -Sultams with Serine β -Lactamases
 AUTHOR(S): Tsang, Wing Y.; Ahmed, Naveed; Hinchliffe, Paul S.; Wood, J. Matthew; Harding, Lindsay P.; Laws, Andrew P.; Page, Michael I.
 CORPORATE SOURCE: Department of Chemical and Biological Sciences, University of Huddersfield, Queensgate /Huddersfield, HD1 3DH, USA
 SOURCE: Journal of the American Chemical Society (2005), 127(49), 17556-17564
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 873073-29-1P
 RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (different transition-state structures for reactions of β -lactams and analogous β -sultams with serine β -lactamases)
 RN 873073-29-1 HCAPLUS
 CN INDEX NAME NOT YET ASSIGNED

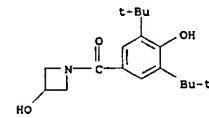


REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

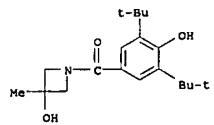
L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:823313 HCAPLUS
 DOCUMENT NUMBER: 143:229708
 TITLE: A preparation of azetidine derivatives, useful as COX-1/COX-2 inhibitors
 INVENTOR(S): Altsisen, Rosa Cuberes; Constanza, Jordi Frigola; Alvarez, Mathieu Ines
 PATENT ASSIGNEE(S): Spain
 SOURCE: U.S. Pat. Appl. Publ., 21 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| US 2005182041 | A1 | 20050818 | US 2004-804505 | 20040319 |
| ES 2244313 | A1 | 20051201 | ES 2004-363 | 20040216 |
| WO 2005077896 | A1 | 20050825 | WO 2005-EPI657 | 20050216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | ES 2004-363 | A 20040216 |
| | | | US 2004-804505 | A 20040319 |

OTHER SOURCE(S): MARPAT 143:229708
 IT 862780-46-9P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)
 RN 862780-46-9 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]- (9CI) (CA INDEX NAME)

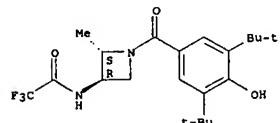


L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 IT 862780-47-0P 862780-52-7P 862780-55-0P
 862780-56-1P 862780-57-2P 862780-58-3P
 862780-60-7P 862780-61-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)
 RN 862780-47-0 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-methyl- (9CI) (CA INDEX NAME)

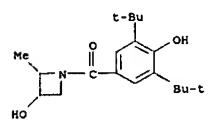


RN 862780-52-7 HCAPLUS
 CN Acetamide, N-[(2S,3R)-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl-3-azetidinyl]-2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

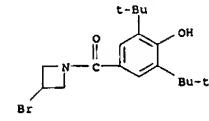


RN 862780-55-0 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl- (9CI) (CA INDEX NAME)

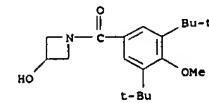


RN 862780-56-1 HCAPLUS
 CN Azetidine, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-bromo- (9CI) (CA INDEX NAME)

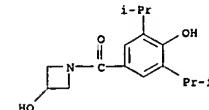
L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



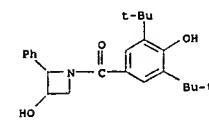
RN 862780-57-2 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]- (9CI) (CA INDEX NAME)



RN 862780-58-3 HCAPLUS
 CN 3-Azetidinol, 1-[4-hydroxy-3,5-bis(1-methylethyl)benzoyl]- (9CI) (CA INDEX NAME)



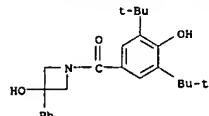
RN 862780-60-7 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 862780-61-8 HCAPLUS
 CN 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-phenyl- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



L8 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:310829 HCAPLUS

DOCUMENT NUMBER: 140:303552

TITLE: Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- α

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl;

Maduskuie, Thomas P.; Voss, Mathew E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 150 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------------|-----------------|----------|
| US 2004072802 | A1 | 20040415 | US 2002-267207 | 20021009 |
| PRIORITY APPLN. INFO.: | | US 2002-267207 20021009 | | |

OTHER SOURCE(S): MARPAT 140:303552

IT 362697-32-3P RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU

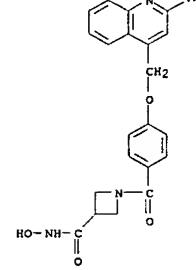
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β -amino acid derivs. as inhibitors of matrixmetalloproteases and TNF- α)

RN 362697-32-3 HCAPLUS

CN 3-Azetidinecarboxamide, N-hydroxy-1-[4-[(2-methyl-4-

quinolinyl)methoxy]benzoyl]- (9CI) (CA INDEX NAME)



IT 362703-18-2P

L8 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

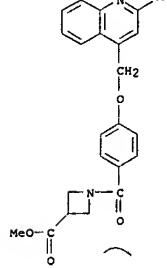
(prepn. of β -amino acid derivs. as inhibitors of matrixmetalloproteases and TNF- α)

RN 362703-18-2 HCAPLUS

CN 3-Azetidinecarboxylic acid,

1-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]-

, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:60463 HCAPLUS

DOCUMENT NUMBER: 140:111265

TITLE: Preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivatives as antibacterial agents

INVENTOR(S): Raju, Bore G.; Odowd, Hardwin; Gao, Hongwu; Patel, Dinesh; Trias, Joaquin

PATENT ASSIGNEE(S): Vicuron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXDZ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2004007444 | A2 | 20040122 | WO 2003-US21838 | 20030711 |
| WO 2004007444 | A3 | 20040910 | | |

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|---|------------|------|----------|-----------------|----------|
| | CA 2492035 | A1 | 20040115 | CA 2003-2492035 | 20030711 |

| EP 1539744 | A2 | 20050615 | EP 2003-748939 | 20030711 |
|------------|----|----------|----------------|----------|
|------------|----|----------|----------------|----------|

| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK, JP 2005536510 | T2 | 20051202 | JP 2004-521744 | 20030711 |
|--|----|----------|----------------|----------|
|--|----|----------|----------------|----------|

| PRIORITY APPLN. INFO.: | US 2002-394862P | P 20020711 |
|------------------------|-----------------|------------|
|------------------------|-----------------|------------|

WO 2003-US21838 W 20030711

OTHER SOURCE(S): MARPAT 140:111265

IT 647856-14-2P, (R)-1-(3,5-diallyl-4-methoxybenzoyl)azetidine-2-

carboxylic acid hydroxymide 647856-15-3P, (R)-1-(4-Methoxy-3,5-

diisopropylbenzoyl)azetidine-2-carboxylic acid hydroxymide

647856-18-6P, (R)-1-(4-Methoxy-3-propylbenzoyl)azetidine-2-

carboxylic acid hydroxymide

RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antibacterial agent; preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivs. as antibacterial agents)

RN 647856-14-2 HCAPLUS

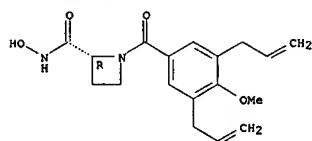
CN 2-Azetidinecarboxamide,

N-hydroxy-1-(4-methoxy-3,5-di-2-propenylbenzoyl)-

(2R)- (9CI) (CA INDEX NAME)

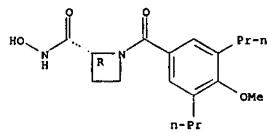
Absolute stereochemistry.

L8 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



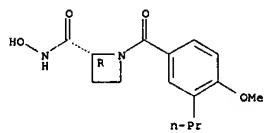
RN 647856-15-3 HCAPLUS
CN 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3,5-dipropylbenzoyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 647856-18-6 HCAPLUS
CN 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3-propylbenzoyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

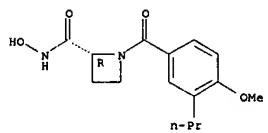
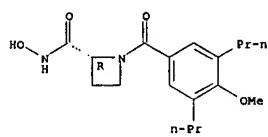
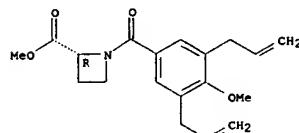


IT 647856-16-4, (R)-1-(3,5-Dimethyl-4-methoxybenzoyl)azetidine-2-Carboxylic acid methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid
N-hydroxymide derivs. as antibacterial agents)
RN 647856-16-4 HCAPLUS
CN 2-Azetidinecarboxylic acid, 1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,

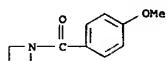
L8 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:887103 HCAPLUS
DOCUMENT NUMBER: 140:93653
TITLE: An Evaluation of Amide Group Planarity in 7-Azabicyclo[2.2.1]heptane Amides. Low Amide Bond Rotation Barrier in Solution
AUTHOR(S): Otani, Yuko; Nagae, Osamu; Naruse, Yuji; Imagaki, Satoshi; Ohno, Masashi; Yamaguchi, Kentaro; Yamamoto, Gaku; Uchiyama, Masanobu; Ohwada, Tomohiko
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The University of Tokyo, Bunkyo, Tokyo, 113-0033, Japan
SOURCE: Journal of the American Chemical Society (2003), 125(49), 15191-15199
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:93653
IT 643026-89-5P
RL: PEP (Physical, engineering or chemical process); PRP (Properties);
PPY (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(evaluation of amide group planarity in azabicycloheptane amides)
RN 643026-89-5 HCAPLUS
CN Azetidine, 1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

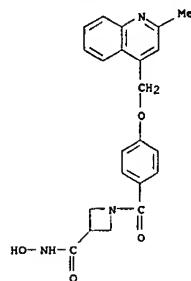
L8 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:713343 HCAPLUS
DOCUMENT NUMBER: 135:272894

TITLE: Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2

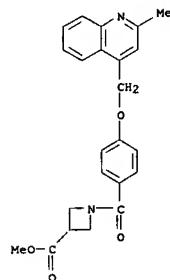
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|-----------------|------------|
| WO 2001070734 | A2 | 20010927 | WO 2001-US8336 | 20010315 |
| WO 2001070734 | A3 | 20020214 | | |
| W: AT, AU, BR, CA, CH, CN, C2, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UR, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| CA 2400168 | AA | 20010927 | CA 2001-2400168 | 20010315 |
| AU 2001050850 | A5 | 200111003 | AU 2001-50850 | 20010315 |
| EP 1263756 | A2 | 20021211 | EP 2001-924171 | 20010315 |
| EP 1263756 | B1 | 20040225 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR | | | | |
| BR 2001009469 | A | 20030429 | BR 2001-9469 | 20010315 |
| JP 2003528097 | T2 | 20030924 | JP 2001-569935 | 20010315 |
| AT 260272 | E | 20040315 | AT 2001-924171 | 20010315 |
| NZ 521245 | A | 20040430 | NZ 2001-521245 | 20010315 |
| ES 2215893 | T3 | 20041016 | ES 2001-1924171 | 20010315 |
| US 2002013341 | A1 | 20020131 | US 2001-811116 | 20010316 |
| US 6495565 | B2 | 20021217 | | |
| HK 1049334 | A1 | 20040716 | HK 2003-101437 | 20030226 |
| | | | US 2000-190183P | P 20000317 |
| | | | US 2000-235467P | P 20000926 |
| | | | US 2000-252062P | P 20001120 |
| | | | WO 2001-US8336 | W 20010315 |

OTHER SOURCE(S): MARPAT 135:272894
IT 362697-32-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of β -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- α)
RN 362697-32-3 HCAPLUS
CN 3-Azetidinecarboxamide, N-hydroxy-1-[4-[(2-methyl-4-



IT 362703-18-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of β -amino acid derivs. as inhibitors of matrix
 metalloproteinases and TNF- α)
 RN 362703-18-2 HCAPLUS
 CN 3-Azetidinecarboxylic acid,
 1-[(2-methyl-4-quinolinyl)methoxy]benzoyl-
 , methyl ester (9CI) (CA INDEX NAME)



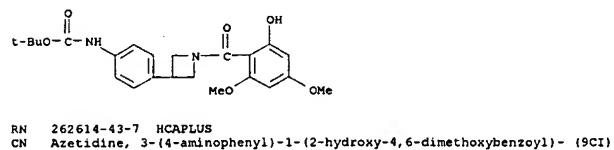
L8 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:210150 HCAPLUS
 DOCUMENT NUMBER: 132:251067
 TITLE: Novel amidine derivatives, their preparation and application as inhibitors of NO synthase and lipid peroxidation, and pharmaceutical compositions containing them
 INVENTOR(S): Auvin, Serge; Chabrier de Lassauniere, Pierre-Etienne;
 PATENT ASSIGNEE(S): Harnett, Jeremiah; Pons, Dominique; Ulibarri, Gerard
 Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S., Fr.
 SOURCE: PCT Int'l Appl., 119 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------------|-----------------|-------------|
| WO 2000017190 | A2 | 20000330 | WO 1999-FR2250 | 19990922 |
| WO 2000017190 | A3 | 200001026 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG | | | | |
| FR 2703519 | A1 | 20000324 | FR 1998-11868 | 19980923 |
| FR 2703519 | B1 | 20030124 | | |
| CA 2344224 | AA | 20000330 | CA 1999-2344224 | 19990922 |
| AU 9956314 | A1 | 20000410 | AU 1999-56314 | 19990922 |
| AU 7666373 | B2 | 20031016 | | |
| BR 9913904 | A | 20010703 | BR 1999-13904 | 19990922 |
| EP 1115719 | A2 | 20010718 | EP 1999-943024 | 19990922 |
| EP 1115719 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO | | | | |
| JP 2002526493 | T2 | 20020820 | JP 2000-574099 | 19990922 |
| AT 233750 | E | 20030315 | AT 1999-943024 | 19990922 |
| EP 1318149 | A1 | 20030611 | EP 2002-26170 | 19990922 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| PT 1115719 | T | 20030731 | PT 1999-943024 | 19990922 |
| NZ 511189 | A | 20030926 | NZ 1999-511189 | 19990922 |
| ES 2194501 | T3 | 20031116 | ES 1999-943024 | 19990922 |
| RU 2238939 | C2 | 20041027 | RU 2001-111022 | 19990922 |
| IL 141998 | A1 | 20050925 | IL 1999-141998 | 19990922 |
| US 6653312 | B1 | 20031125 | US 2001-787467 | 20010316 |
| NO 2001001479 | A | 20010518 | NO 2001-1479 | 20010322 |
| ZA 2001003204 | A | 20020919 | ZA 2001-3204 | 20010419 |
| HK 1042486 | A1 | 20050225 | HK 2002-103892 | 20020524 |
| US 2005261269 | A1 | 20051124 | US 2003-662183 | 20030912 |
| PRIORITY APPLN. INFO.: | | | FR 1998-11868 | A 19980923 |
| | | EP 1999-943024 | | A3 19990922 |

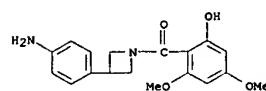
L8 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 WO 1999-FR2250 W 19990922

US 2001-787467 A3 20010316

OTHER SOURCE(S): MARPAT 132:251067
 IT 262614-42-6P 262614-43-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate preparation of amidine derivs. as inhibitors of NO
 synthase
 and/or lipid peroxidin.)
 RN 262614-42-6 HCAPLUS
 CN Carbamic acid,
 [4-(1-(2-hydroxy-4,6-dimethoxybenzoyl)-3-azetidinyl]phenyl-
 , 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

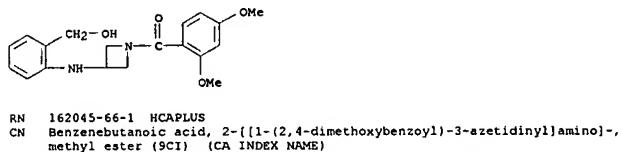


RN 262614-43-7 HCAPLUS
 CN Azetidine, 3-(4-aminophenyl)-1-(2-hydroxy-4,6-dimethoxybenzoyl)- (9CI)
 (CA INDEX NAME)



L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:613031 HCAPLUS
 DOCUMENT NUMBER: 127:278203
 TITLE: Benzoazinone and benzopyrimidinone piperidinyl
 tocolytic oxytocin receptor antagonists
 INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Williams, Peter D.;
 Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs,
 Doug W.; Anderson, Paul S.
 PATENT ASSIGNEE(S): Meek and Co., Inc., USA
 SOURCE: U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840,
 abandoned.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PRIORITY INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 US 5665719 A 19970909 US 1995-470693 19950606
 PRIORITY APPLN. INFO.: US 1993-92840 B2 19930716

OTHER SOURCE(S): MARPAT 127:278203
 IT 162045-63-0P 162045-66-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (Intermediate; preparation of benzoazinone and benzopyrimidinone
 derivs. as
 oxytocin and vasopressin receptor antagonists)
 RN 162045-63-0 HCAPLUS
 CN 3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-[2-(hydroxymethyl)phenyl]-
 (9CI) (CA INDEX NAME)



RN 162045-66-1 HCAPLUS
 CN Benzenobutanoic acid, 2-[(1-(2,4-dimethoxybenzoyl)-3-azetidinyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

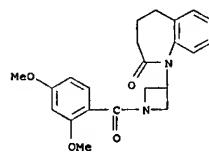
L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Chemical structure of 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-azetidine. It features an azetidine ring fused to a 2H-3,1-benzoxazin-1(4H)-yl group, which is further substituted with a 2,4-dimethoxybenzoyl group.

IT 162042-77-5P 162042-79-7P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzoazinone and benzopyrimidinone derivs. as
 oxytocin and
 vasopressin receptor antagonists)
 RN 162042-77-5 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-
 (9CI) (CA INDEX NAME)

Chemical structure of 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)-azetidine. It shows an azetidine ring fused to a 2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl group, which is substituted with a 2,4-dimethoxybenzoyl group.

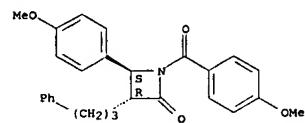
RN 162042-79-7 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-
 benzazepin-1-yl)- (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

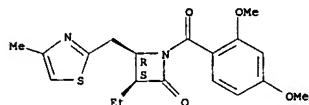
L8 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:513507 HCAPLUS
 DOCUMENT NUMBER: 125:131668
 TITLE: 2-Azetidinone Cholesterol Absorption Inhibitors:
 Structure-Activity Relationships on the Heterocyclic
 Nucleus
 AUTHOR(S): Clader, John W.; Burnett, Duane A.; Caplen, Mary Ann;
 Domalski, Martin S.; Dugar, Sundeep; Vaccaro, Wayne;
 Sher, Rosy; Browne, Margaret E.; Zhao, Hongrong; et
 al.
 CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ,
 07033-0539, USA
 SOURCE: Journal of Medicinal Chemistry (1996), 39(19),
 3694-3693
 PUBLISHER: JNCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: American Chemical Society
 LANGUAGE: English
 IT 179763-35-0P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (structure-activity relations of azetidinone cholesterol absorption
 inhibitors)
 RN 179763-35-0 HCAPLUS
 CN 2-Azetidinone,
 1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-(3-phenylpropyl)-
 , trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:954289 HCAPLUS
 DOCUMENT NUMBER: 124:116909
 TITLE: Simple and condensed β -lactams. Part 23.
 Synthesis of some compounds related to the monobactams, carrying non-acylamino substituents in position 3 and various heterocyclyl or heterocyclomethyl substituents in position 4 of the β -lactam ring
 AUTHOR(S): Fetter, Jozsef; Bertha, Ferenc; Czuppon, Tibor;
 Kajtar-Peregy, Maria; Lempert, Karoly
 Dep. Org. Chem., Tech. Univ. Budapest, Budapest,
 H-1521 Hung.
 SOURCE: Journal of Chemical Research, Synopses (1995), (11), 444-5
 CODEN: JRPSDC; ISSN: 0308-2342
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 124:116909
 IT 172698-00-9P
 RL: BYP (Byproduct); PREP (Preparation)
 (synthesis of some compds. related to the monobactams and their antimicrobial activity)
 RN 172698-00-9 HCAPLUS
 CN 2-Azetidinone, 1-(2,4-dimethoxybenzoyl)-3-ethyl-4-[(4-methyl-2-thiazolyl)methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 162042-79-7 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)- (9CI) (CA INDEX NAME)

IT 162045-63-8P 162045-66-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
 RN 162045-63-8 HCAPLUS
 CN 3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-[2-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)

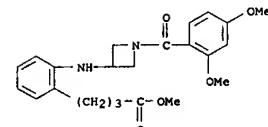
RN 162045-66-1 HCAPLUS
 CN Benzenbutanoic acid, 2-[(1-(2,4-dimethoxybenzoyl)-3-azetidinyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:470323 HCAPLUS
 DOCUMENT NUMBER: 123:276051
 TITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
 INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettibone, Douglas J.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: PCT Int. Appl., 385 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9502405 | A1 | 19950126 | WO 1994-US7784 | 19940714 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2166975 | AA | 19950126 | CA 1994-2166975 | 19940714 |
| CA 2166975 | C | 20050405 | | |
| AU 9475132 | A1 | 19950213 | AU 1994-75132 | 19940714 |
| AU 691829 | B2 | 19980528 | | |
| EP 714299 | A1 | 19960605 | EP 1994-925092 | 19940714 |
| EP 714299 | B1 | 20020424 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 09500134 | T2 | 19970107 | JP 1994-504656 | 19940714 |
| AT 216580 | E | 20020515 | AT 1994-925092 | 19940714 |
| PRIORITY APPN. INFO.: | | | US 1993-92840 | A 19930716 |
| | | | WO 1994-US7784 | W 19940714 |

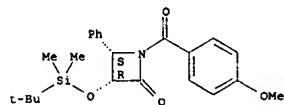
OTHER SOURCE(S): MARPAT 123:276051
 IT 162042-77-5P 162042-79-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
 RN 162042-77-5 HCAPLUS
 CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995-44917 HCAPLUS
 DOCUMENT NUMBER: 122:56244
 TITLE: Topiliss approach to the synthesis of biologically active substituted N-benzoyl taxol analogs
 AUTHOR(S): Georg, Gunda I.; Boge, Thomas C.; Cheruvallath, Zacharia S.; Harriman, Geraldine C. B.; Hepperle, Michael; Park, Heeil; Himes, Richard H.
 CORPORATE SOURCE: Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(15), 1825-30
 CODEN: BMCLB8; ISSN: 0960-894X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 160058-87-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction with triethylsilylbaccatin III in synthesis of taxol analogs)
 RN 160058-87-7 HCAPLUS
 CN 2-Azetidinone, 3-[(1,1-dimethylethyl)dimethylsilyloxy]-1-(4-methoxybenzoyl)-4-phenyl-, (3R-cis)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993-539574 HCAPLUS
 DOCUMENT NUMBER: 119:139574
 TITLE: Preparation of substituted isoserine esters using metal alkoxides and (β)-lactams
 INVENTOR(S): Holton, Robert A.
 PATENT ASSIGNEE(S): Florida State University, USA
 SOURCE: PCT Int. Appl., 82 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 28
 PATENT INFORMATION:

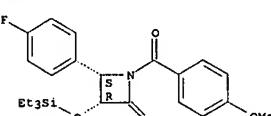
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9306079 | A1 | 19930401 | WO 1992-US7990 | 19920922 |
| W: AU, CA, CS, FI, HU, JP, KR, KR, NO, PL, RU, RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| CA 2221190 | C | 20020212 | CA 1992-2221190 | 19920902 |
| ZA 9206827 | A | 19930315 | ZA 1992-6827 | 19920908 |
| ZA 9206828 | A | 19930315 | ZA 1992-6828 | 19920908 |
| ZA 9206829 | A | 19930315 | ZA 1992-6829 | 19920908 |
| ZA 9207038 | A | 19930514 | ZA 1992-7038 | 19920915 |
| ZA 9207039 | A | 19931220 | ZA 1992-7039 | 19920915 |
| CA 2098478 | RA | 19930324 | CA 1992-2098478 | 19920922 |
| CA 2098478 | C | 19990914 | | |
| AU 9226890 | A1 | 19930427 | AU 1992-26890 | 19920922 |
| AU 647971 | B2 | 19940331 | | |
| EP 605637 | A1 | 19940713 | EP 1992-921316 | 19920922 |
| EP 605637 | B1 | 19990324 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| JP 07502983 | T2 | 19950330 | JP 1993-506299 | 19920922 |
| JP 3469237 | B2 | 20031125 | | |
| HU 71795 | A2 | 19960228 | HU 1994-830 | 19920922 |
| EP 884314 | A2 | 19981216 | EP 1998-114788 | 19920922 |
| EP 884314 | A3 | 20020502 | | |
| EP 884314 | B1 | 20040121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, IE | | | | |
| RU 2128654 | C1 | 19990410 | RU 1994-44324 | 19920922 |
| AT 178060 | E | 19990415 | AT 1992-921316 | 19920922 |
| ES 232129 | T3 | 19990816 | ES 1992-921316 | 19920922 |
| CZ 287417 | B6 | 20001115 | CZ 1994-660 | 19920922 |
| CZ 287609 | B6 | 20010117 | CZ 1994-661 | 19920922 |
| EP 1193252 | A2 | 20020403 | EP 2002-698 | 19920922 |
| EP 1193252 | A3 | 20031105 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, IE | | | | |
| CA 2254273 | C | 20030325 | CA 1992-2254273 | 19920922 |
| AT 258171 | E | 20040215 | AT 1998-114788 | 19920922 |
| ES 2214665 | T3 | 20040916 | ES 1998-114788 | 19920922 |
| AU 9339838 | A1 | 19930819 | AU 1993-39838 | 19930527 |
| AU 642392 | B3 | 19931014 | | |
| FI 9401325 | A | 19940504 | FI 1994-1325 | 19940322 |
| FI 113046 | B1 | 20040227 | | |
| NO 9401022 | A | 19940520 | NO 1994-1022 | 19940322 |
| NO 306209 | B1 | 19991004 | | |

L8 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 TW 396159 B 20000701 TW 1994-83103422 19940418
 US 5539103 A 19960723 US 1994-351532 19941207
 US 5723634 A 19980303 US 1995-483309 19950607
 US 6066747 A 20000523 US 1995-522307 19951030
 US 6069260 A 20000530 US 1997-941640 19970930
 US 6479678 B1 20021112 US 2000-517791 20000302
 US 2001014746 A1 20010816 US 2001-804821 20010313
 US 6562962 B2 20030513
 US 2003027855 A1 20030206 US 2002-208418 20020730
 US 6710191 B2 20040323
 US 2003120096 A1 20030626 US 2002-289103 20021106
 US 6683196 B2 20040127
 JP 2004043439 A2 20040212 JP 2003-128200 20030506
 US 2004073048 A1 20040415 US 2003-673897 20030929
 PRIORITY APPLN. INFO.: US 1991-763805 A 19910923
 US 1992-862955 A 19920403
 US 1992-863840 A 19920406
 US 1992-863451 A 19920403
 US 1992-863849 A 19920406
 US 1992-900408 A 19920618
 CA 1992-2077394 A3 19920902
 CA 1992-2098478 A3 19920922
 CS 1994-660 A 19920922
 CS 1994-661 A 19920922
 EP 1992-921316 A3 19920922
 EP 1998-114788 A3 19920922
 JP 1993-506299 A3 19920922
 US 1992-949107 B3 19920922
 WO 1992-US7990 A 19920922
 US 1992-967998 B1 19921026
 WO 1994-US2382 W 19940304
 US 1994-263270 B1 19940621
 US 1994-314532 A1 19940928
 US 1994-351532 A3 19941207
 US 1995-483309 A3 19950607
 US 1996-607108 A1 19960226
 US 1997-941640 A1 19970930

L8 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 2000-517791 A1 20000302
 US 2000-566970 A1 20000509
 US 2002-194343 A1 20020712
 US 2002-289103 A1 20021106

OTHER SOURCE(S): MARPAT 119:139574
 IT 149197-26-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (sequential lithiation and esterification by azetidinone derivative of baccatin III derivative in preparation of taxol-related compound)
 RN 149197-26-2 HCAPLUS
 CN 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3-[(triethylsilyl)oxy]-, (3R-cis)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993-449694 HCAPLUS
 DOCUMENT NUMBER: 119-49694
 TITLE: Preparation of substituted taxanes as antitumor
 agents
 INVENTOR(S): Holton, Robert A.; Nadizadeh, Hossain; Beidiger,
 Ronald J.; Kim, Seokchan
 PATENT ASSIGNEE(S): Florida State University, USA
 SOURCE: Eur. Pat. Appl., 43 pp.
 CODEN: EPXADM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 28
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| EP 534709 | A1 | 19930331 | EP 1992-308609 | 19920922 |
| EP 534709 | B1 | 20030115 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, | | | | |
| SE | | | | |
| US 5250683 | A | 19931005 | US 1992-863451 | 19920403 |
| CA 2077394 | AA | 19930224 | CA 1992-2077394 | 19920902 |
| CA 2077394 | C | 19990406 | | |
| CA 2221190 | C | 20020212 | CA 1992-2221190 | 19920902 |
| AU 9223124 | A1 | 19930325 | AU 1992-22124 | 19920904 |
| AU 655493 | B2 | 19941222 | | |
| ZR 9206827 | A | 19930315 | ZR 1992-6627 | 19920908 |
| ZR 9206828 | A | 19930315 | ZR 1992-6628 | 19920908 |
| ZR 9206829 | A | 19930315 | ZR 1992-6629 | 19920908 |
| ZR 9207038 | A | 19930514 | ZR 1992-7038 | 19920915 |
| ZR 9207039 | A | 19931220 | ZR 1992-7039 | 19920915 |
| FI 113173 | B1 | 20040315 | FI 1992-4228 | 19920921 |
| NO 9203679 | A | 19930324 | NO 1992-3679 | 19920922 |
| NO 305205 | B1 | 19990419 | | |
| HU 63155 | A2 | 19930728 | HU 1992-3024 | 19920922 |
| HU 215110 | B | 19981228 | | |
| JP 06199824 | A2 | 19940719 | JP 1992-276765 | 19920922 |
| JP 3182231 | B2 | 20010703 | | |
| EP 884314 | A2 | 19981216 | EP 1998-114788 | 19920922 |
| EP 884314 | A3 | 20020502 | | |
| EP 884314 | B1 | 20040121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE | | | | |
| CZ 287417 | B6 | 20001115 | CZ 1994-660 | 19920922 |
| CZ 287609 | B6 | 20010117 | CZ 1994-661 | 19920922 |
| EP 1193252 | A2 | 20020403 | EP 2002-688 | 19920922 |
| EP 1193252 | A3 | 20031105 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE | | | | |
| AT 231139 | E | 20030215 | AT 1992-308609 | 19920922 |
| CA 2254273 | C | 20030325 | CA 1992-2254273 | 19920922 |
| ES 191005 | T3 | 20030901 | ES 1992-308609 | 19920922 |
| TW 396159 | B | 20000701 | TW 1994-82103422 | 19940419 |
| US 5539103 | A | 19960723 | US 1994-351532 | 19941207 |
| US 5723634 | A | 19980303 | US 1995-483309 | 19950607 |
| US 6066747 | A | 20000523 | US 1995-522307 | 19951030 |
| US 6069260 | A | 20000530 | US 1997-941640 | 19970930 |

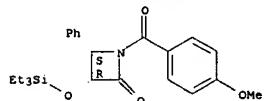
L8 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 2000-566970 AI 20000509

US 2002-194343 AI 20020712

US 2002-289103 AI 20021106

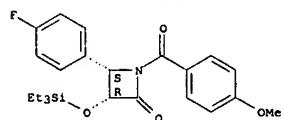
OTHER SOURCE(S): MARPAT 119-49694
 IT 148548-73-6 148549-01-3 148549-09-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with lithiated (triethylsilyl)baccatin III, in
 preparation of
 neoplasm inhibitor)
 RN 148548-73-6 HCAPLUS
 CN 2-Azetidinone, 1-(4-methoxybenzoyl)-4-phenyl-3-[(triethylsilyl)oxy]-,
 (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



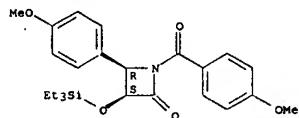
RN 148549-01-3 HCAPLUS
 CN 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3-
 [(triethylsilyl)oxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 148549-09-1 HCAPLUS
 CN 2-Azetidinone, 1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-
 [(triethylsilyl)oxy]-, cis- (9CI) (CA INDEX NAME)

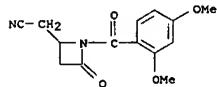
Relative stereochemistry.



L8 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 6479678 B1 20021112 US 2000-517791 20000302
 US 2001014746 A1 20010816 US 2001-804821 20010313
 US 6562962 B2 20030513
 US 2003027855 A1 20030206 US 2002-208418 20020730
 US 6710191 B2 20040323
 US 2003120096 A1 20030626 US 2002-289103 20021106
 US 6683196 B2 20040127
 JP 2004043439 A2 20040212 JP 2003-128200 20030506
 US 2004073048 A1 20040415 US 2003-673897 20030929
 PRIORITY APPLN. INFO.: US 1991-763805 A 19910923
 US 1992-863451 A 19920403
 US 1992-862955 A 19920403
 US 1992-863840 A 19920406
 US 1992-863849 A 19920406
 US 1992-900408 A 19920618
 CA 1992-2077394 A3 19920902
 CA 1992-2098478 A3 19920922
 CS 1994-660 A 19920922
 CS 1994-661 A 19920922
 EP 1992-921316 A3 19920922
 EP 1998-114788 A3 19920922
 JP 1993-506299 A3 19920922
 US 1992-949107 B3 19920922
 US 1992-967998 B1 19921026
 WO 1994-US2382 W 19940304
 US 1994-263270 B1 19940621
 US 1994-314532 A1 19940928
 US 1994-351532 A3 19941207
 US 1995-483309 A3 19950607
 US 1996-607108 A1 19960226
 US 1997-941640 A1 19970930
 US 2000-517791 A1 20000302

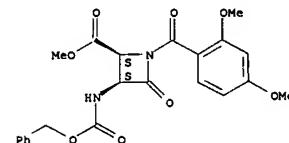
L8 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1986-514779 HCAPLUS
 DOCUMENT NUMBER: 105:114779
 TITLE: Simple and condensed β -lactams. II. The synthesis of new diethyl 4-oxoazetidine-2,2-dicarboxylates and some manipulations of their functional groups and N-substituents
 AUTHOR(S): Simig, Gyula; Fetter, Jozsef; Hornyak, Gyula; Zauer, Karoly; Doleschall, Gabor; Lempert, Karoly; Nyitrai, Jozsef; Gombos, Zsuzsa; Gizur, Tibor; et al.
 CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci., Budapest,
 H-1521, Hung.
 SOURCE: Acta Chimica Hungarica (1985), 119(1), 17-32
 CODEN: ACHUDC; ISSN: 0231-3146
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 103864-98-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 103864-98-8 HCAPLUS
 CN 2-Azetidineacetonitrile, 1-(2,4-dimethoxybenzoyl)-4-oxo- (9CI) (CA INDEX NAME)



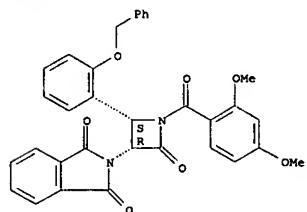
L8 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1984-610814 HCAPLUS
 DOCUMENT NUMBER: 101:210814
 TITLE: Chemical modification of sulfazecin. Synthesis of 4-methoxycarbonyl-2-azetidinone-1-sulfonic acid derivatives
 AUTHOR(S): Kishimoto, Shoji; Sendai, Michiyuki; Tomimoto, Mitsumi; Hashiguchi, Shohei; Matsuo, Taisuke; Ochiai, Michihiko
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1984), 32(7), 2646-59
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 92973-54-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 92973-54-1 HCAPLUS
 CN 2-Azetidinecarboxylic acid, 1-(2,4-dimethoxybenzoyl)-4-oxo-3-[(phenylmethoxy)carbonyl]amino-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1980:425983 HCAPLUS
 DOCUMENT NUMBER: 93:25983
 TITLE: Studies on the synthesis of chemotherapeutics. VIII. Stereoselective synthesis of 1,9b-dihydro-2H,4H-2-oxo-azeto[1,2-c][1,3]benzoxazine-4-carboxylic acid derivatives. (Studies on the syntheses of heterocyclic compounds. DCCCXIII)
 AUTHOR(S): Kametani, Tetsuji; Kigasawa, Kazuo; Hiragi, Mineharu; Wakisaka, Kikuo; Sugi, Hideo; Tanigawa, Keizo
 CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
 SOURCE: Yakugaku Zasshi (1979), 99(11), 1132-40
 CODEN: YKKZAJ; ISSN: 0031-6903
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 OTHER SOURCE(S): CASREACT 93:25983
 IT 73902-73-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 73902-73-5 HCAPLUS
 CN 2-Azetidinone, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-(2,4-dimethoxybenzoyl)-4-[2-(phenylmethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



Andrew Freistein 10/804, 505

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 67.26 | 511.58 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -15.00 |

STN INTERNATIONAL LOGOFF AT 14:23:54 ON 03 FEB 2006